

Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) **EP 1 475 374 A1**

(12)

EUROPEAN PATENT APPLICATION

published in accordance with Art. 158(3) EPC

(43) Date of publication:
10.11.2004 Bulletin 2004/46

(51) Int Cl.7: **C07D 285/08**, C07D 417/12,
A61K 31/433, A61K 31/4439,
A61K 31/506, A61P 33/14

(21) Application number: **03701718.3**

(22) Date of filing: **15.01.2003**

(86) International application number:
PCT/JP2003/000237

(87) International publication number:
WO 2003/059897 (24.07.2003 Gazette 2003/30)

(84) Designated Contracting States:
**AT BE BG CH CY CZ DE DK EE ES FI FR GB GR
HU IE IT LI LU MC NL PT SE SI SK TR**
Designated Extension States:
AL LT LV MK RO

(30) Priority: **17.01.2002 JP 2002008356**

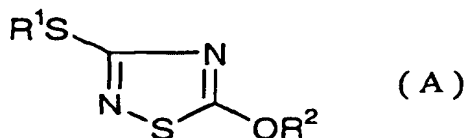
(71) Applicant: **Sumitomo Chemical Company,
Limited
Chuo-ku Osaka 541-8550 (JP)**

(72) Inventors:
• **IHARA, Hideki**
Toyonaka-shi, Osaka 560-0021 (JP)
• **SAKAMOTO, Noriyasu**
Toyonaka-shi, Osaka 560-0022 (JP)
• **TOMIOKA, Hiroki**
Ikeda-shi, Osaka 563-0043 (JP)

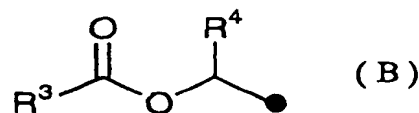
(74) Representative: **VOSSIUS & PARTNER
Siebertstrasse 4
81675 München (DE)**

(54) **THIADIAZOLE COMPOUNDS AND USE THEREOF**

(57) A thiadiazole compound of the formula (A):



wherein R¹ represents methyl, C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, C4-C7 alkylthioalkoxyalkyl, phenyl C1-C2 alkyl in which phenyl may be substituted, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted, phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, or the substituent of the formula (B):



wherein R³ represents C1-C3 alkyl, and R⁴ represents a hydrogen atom, methyl, ethyl or phenyl which may be substituted; and
R² represents phenyl C1-C4 alkyl in which phenyl may be substituted, pyridyl C1-C4 alkyl in which pyridyl may be substituted, or pyrimidyl C1-C4 alkyl in which pyrimidyl may be substituted;
has an excellent arthropod pests controlling activity.

EP 1 475 374 A1

DescriptionTechnical Field of the Invention

5 [0001] The present invention relates to a thiadiazole and uses thereof.

Background Art

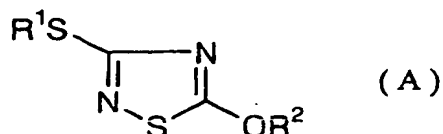
10 [0002] It is known that a kind of thiadiazole compound can be used as an active ingredient in an arthropod pests controlling composition (cf. DE 3030661 publication).

[0003] However the arthropod pests controlling activity of the thiadiazole compound is not efficient, thus compounds having more efficient arthropod pests controlling activity are desired.

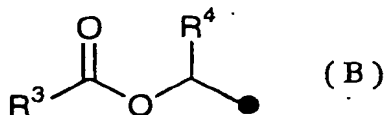
Disclosure of the Invention

15 [0004] The present inventor has earnestly studied, and found wherein a thiadiazole compound of the formula (A) has excellent arthropod pests controlling activity to complete the present invention.

20 [0005] Namely, the present invention provides the thiadiazole compound (hereinafter, referred to as the present compound) of the formula (A):



30 wherein R¹ represents methyl, C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, C4-C7 alkylthioalkoxyalkyl, phenyl C1-C2 alkyl in which phenyl may be substituted, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted, phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, or the substituent of the formula (B):



wherein R³ represents C1-C3 alkyl, and R⁴ represents a hydrogen atom, methyl, ethyl or phenyl which may be substituted; and

40 R² represents phenyl C1-C4 alkyl in which phenyl may be substituted, pyridyl C1-C4 alkyl in which pyridyl may be substituted, or pyrimidyl C1-C4 alkyl in which pyrimidyl may be substituted;

the arthropod controlling composition comprising the present compound as an active ingredient;

and the method for controlling an arthropod pest comprising applying an effective amount of the present compound to an arthropod pest or habitats of an arthropod pest.

45 [0006] In the present invention, each substituent represented by R¹ or R² is specifically exemplified below.

[0007] The C3-C7 alkenyl, represented by R¹, includes 2-butenyl, 3-methyl-2-butenyl, 2-pentenyl and the like.

[0008] The C2-C6 alkoxyalkyl, represented by R¹, includes (C1-C6 alkoxy)methyl and the like; more specifically, methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl and the like.

50 [0009] The C2-C7 alkylthioalkyl, represented by R¹, includes (C1-C6 alkylthio)methyl and the like; more specifically, methylthiomethyl, ethylthiomethyl, propylthiomethyl, isopropylthiomethyl and the like.

[0010] The C4-C7 alkoxyalkoxyalkyl, represented by R¹, includes (2-methoxyethoxy)methyl and the like.

[0011] The C4-C7 alkylthioalkoxyalkyl, represented by R¹, includes (2-ethylthioethoxy)methyl and the like.

55 [0012] The phenyl C1-C2 alkyl in which phenyl may be substituted, represented by R¹, includes C1-C2 alkyl substituted with phenyl which may be substituted with one or more selected from the group (hereinafter, referred to as the substitution group A) consisting of C1-C4 alkyl such as methyl, ethyl, propyl, isopropyl, tert-butyl and the like; C1-C4 haloalkyl such as trifluoromethyl, difluoromethyl, pentafluoroethyl and the like; C1-C4 alkoxy such as methoxy, ethoxy, propoxy, isopropoxy and the like; C1-C4 alkylthio such as methylthio, ethylthio and the like; C1-C4 haloalkoxy such as trifluoromethoxy, difluoromethoxy and the like; nitro; cyano; and halogen atoms such as a fluorine atom, chlorine atom,

bromine atom and the like. More specifically it includes benzyl, 2-methylbenzyl, 3-methylbenzyl, 4-methylbenzyl, 2-trifluoromethylbenzyl, 3-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 2-methoxybenzyl, 3-methoxybenzyl, 4-methoxybenzyl, 2-methylthiobenzyl, 3-methylthiobenzyl, 4-methylthiobenzyl, 2-trifluoromethoxybenzyl, 3-trifluoromethoxybenzyl, 4-trifluoromethoxybenzyl, 2-nitrobenzyl, 3-nitrobenzyl, 4-nitrobenzyl, 2-cyanobenzyl, 3-cyanobenzyl, 4-cyanobenzyl, 2-fluorobenzyl, 3-fluorobenzyl, 4-fluorobenzyl, 3,4-difluorobenzyl, 3,5-difluorobenzyl, 2,6-difluorobenzyl, 2,4-difluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 3,4-dichlorobenzyl, 3,5-dichlorobenzyl, 2,6-dichlorobenzyl, 2,4-dichlorobenzyl, 2-bromobenzyl, 3-bromobenzyl, 4-bromobenzyl, 3,4-dibromobenzyl, 3,5-dibromobenzyl, 2,6-dibromobenzyl, 2,4-dibromobenzyl, 1-phenylethyl, 1-(2-methylphenyl)ethyl, 1-(3-methylphenyl)ethyl, 1-(4-methylphenyl)ethyl, 1-(2-trifluoromethylphenyl)ethyl, 1-(3-trifluoromethylphenyl)ethyl, 1-(4-trifluoromethylphenyl)ethyl, 1-(2-methoxyphenyl)ethyl, 1-(3-methoxyphenyl)ethyl, 1-(4-methoxyphenyl)ethyl, 1-(2-methylthiophenyl)ethyl, 1-(3-methylthiophenyl)ethyl, 1-(4-methylthiophenyl)ethyl, 1-(2-trifluoromethoxyphenyl)ethyl, 2-(3-trifluoromethoxyphenyl)ethyl, 1-(4-trifluoromethoxyphenyl)ethyl, 1-(2-nitrophenyl)ethyl, 1-(3-nitrophenyl)ethyl, 1-(4-nitrophenyl)ethyl, 1-(2-cyanophenyl)ethyl, 1-(3-cyanophenyl)ethyl, 1-(4-cyanophenyl)ethyl, 1-(2-fluorophenyl)ethyl, 1-(3-fluorophenyl)ethyl, 1-(4-fluorophenyl)ethyl, 1-(3,4-difluorophenyl)ethyl, 1-(3,5-difluorophenyl)ethyl, 1-(2,6-difluorophenyl)ethyl, 1-(2,4-difluorophenyl)ethyl, 1-(2-chlorophenyl)ethyl, 1-(3-chlorophenyl)ethyl, 1-(4-chlorophenyl)ethyl, 1-(3,4-dichlorophenyl)ethyl, 1-(3,5-dichlorophenyl)ethyl, 1-(2,6-dichlorophenyl)ethyl, 1-(2,4-dichlorophenyl)ethyl, 1-(2-bromophenyl)ethyl, 1-(3-bromophenyl)ethyl, 1-(4-bromophenyl)ethyl, 1-(3,4-dibromophenyl)ethyl, 1-(3,5-dibromophenyl)ethyl, 1-(2,6-dibromophenyl)ethyl, 1-(2,4-dibromophenyl)ethyl, 2-phenylethyl, 2-(2-methylphenyl)ethyl, 2-(3-methylphenyl)ethyl, 2-(4-methylphenyl)ethyl, 2-(2-trifluoromethylphenyl)ethyl, 2-(3-trifluoromethylphenyl)ethyl, 2-(4-trifluoromethylphenyl)ethyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl, 2-(2-methylthiophenyl)ethyl, 2-(3-methylthiophenyl)ethyl, 2-(4-methylthiophenyl)ethyl, 2-(2-trifluoromethoxyphenyl)ethyl, 2-(3-trifluoromethoxyphenyl)ethyl, 2-(4-trifluoromethoxyphenyl)ethyl, 2-(2-nitrophenyl)ethyl, 2-(3-nitrophenyl)ethyl, 2-(4-nitrophenyl)ethyl, 2-(2-cyanophenyl)ethyl, 2-(3-cyanophenyl)ethyl, 2-(4-cyanophenyl)ethyl, 2-(2-fluorophenyl)ethyl, 2-(3-fluorophenyl)ethyl, 2-(4-fluorophenyl)ethyl, 2-(3,4-difluorophenyl)ethyl, 2-(3,5-difluorophenyl)ethyl, 2-(2,6-difluorophenyl)ethyl, 2-(2,4-difluorophenyl)ethyl, 2-(2-chlorophenyl)ethyl, 2-(3-chlorophenyl)ethyl, 2-(4-chlorophenyl)ethyl, 2-(3,4-dichlorophenyl)ethyl, 2-(3,5-dichlorophenyl)ethyl, 2-(2,6-dichlorophenyl)ethyl, 2-(2,4-dichlorophenyl)ethyl, 2-(2-bromophenyl)ethyl, 2-(3-bromophenyl)ethyl, 2-(4-bromophenyl)ethyl, 2-(3,4-dibromophenyl)ethyl, 2-(3,5-dibromophenyl)ethyl, 2-(2,6-dibromophenyl)ethyl, 2-(2,4-dibromophenyl)ethyl and the like.

[0013] The phenoxy C1-C2 alkyl in which phenoxy may be substituted, represented by R₁, includes C1-C2 alkyl substituted with phenoxy which may be substituted with one or more selected from the substitution group A. More specifically it includes phenyloxymethyl, 1-(phenyloxy)ethyl, 2-(phenyloxy)ethyl, (2-methylphenyl)oxymethyl, (3-methylphenyl)oxymethyl, (4-methylphenyl)oxymethyl, (2-trifluoromethylphenyl)oxymethyl, (3-trifluoromethylphenyl)oxymethyl, (4-trifluoromethylphenyl)oxymethyl, (2-methoxyphenyl)oxymethyl, (3-methoxyphenyl)oxymethyl, (4-methoxyphenyl)oxymethyl, (2-methylthiophenyl)oxymethyl, (3-methylthiophenyl)oxymethyl, (4-methylthiophenyl)oxymethyl, (2-trifluoromethoxyphenyl)oxymethyl, (3-trifluoromethoxyphenyl)oxymethyl, (4-trifluoromethoxyphenyl)oxymethyl, (2-nitrophenyl)oxymethyl, (3-nitrophenyl)oxymethyl, (4-nitrophenyl)oxymethyl, (2-cyanophenyl)oxymethyl, (3-cyanophenyl)oxymethyl, (4-cyanophenyl)oxymethyl, (2-fluorophenyl)oxymethyl, (3-fluorophenyl)oxymethyl, (4-fluorophenyl)oxymethyl, (3,4-difluorophenyl)oxymethyl, (3,5-difluorophenyl)oxymethyl, (2,6-difluorophenyl)oxymethyl, (2,4-difluorophenyl)oxymethyl, (2-chlorophenyl)oxymethyl, (3-chlorophenyl)oxymethyl, (4-chlorophenyl)oxymethyl, (3,4-dichlorophenyl)oxymethyl, (3,5-dichlorophenyl)oxymethyl, (2,6-dichlorophenyl)oxymethyl, (2,4-dichlorophenyl)oxymethyl, (2-bromophenyl)oxymethyl, (3-bromophenyl)oxymethyl, (4-bromophenyl)oxymethyl, (3,4-dibromophenyl)oxymethyl, (3,5-dibromophenyl)oxymethyl, (2,6-dibromophenyl)oxymethyl, (2,4-dibromophenyl)oxymethyl and the like.

[0014] The phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, represented by R₁, includes C2-C3 alkoxyalkyl substituted with phenyl which may be substituted with one or more selected from the substitution group A. More specifically it includes benzyloxymethyl (2-methylbenzyl)oxymethyl, (3-methylbenzyl)oxymethyl, (4-methylbenzyl)oxymethyl, (2-trifluoromethylbenzyl)oxymethyl, (3-trifluoromethylbenzyl)oxymethyl, (4-trifluoromethylbenzyl)oxymethyl, (2-methoxybenzyl)oxymethyl, (3-methoxybenzyl)oxymethyl, (4-methoxybenzyl)oxymethyl, (2-methylthiobenzyl)oxymethyl, (3-methylthiobenzyl)oxymethyl, (4-methylthiobenzyl)oxymethyl, (2-trifluoromethoxybenzyl)oxymethyl, (3-trifluoromethoxybenzyl)oxymethyl, (4-trifluoromethoxybenzyl)oxymethyl, (2-nitrobenzyl)oxymethyl, (3-nitrobenzyl)oxymethyl, (4-nitrobenzyl)oxymethyl, (2-cyanobenzyl)oxymethyl, (3-cyanobenzyl)oxymethyl, (4-cyanobenzyl)oxymethyl, (2-fluorobenzyl)oxymethyl, (3-fluorobenzyl)oxymethyl, (4-fluorobenzyl)oxymethyl, (3,4-difluorobenzyl)oxymethyl, (3,5-difluorobenzyl)oxymethyl, (2,6-difluorobenzyl)oxymethyl, (2,4-difluorobenzyl)oxymethyl, (2-chlorobenzyl)oxymethyl, (3-chlorobenzyl)oxymethyl, (4-chlorobenzyl)oxymethyl, (3,4-dichlorobenzyl)oxymethyl, (3,5-dichlorobenzyl)oxymethyl, (2,6-dichlorobenzyl)oxymethyl, (2,4-dichlorobenzyl)oxymethyl, (2-bromobenzyl)oxymethyl, (3-bromobenzyl)oxymethyl, (4-bromobenzyl)oxymethyl, (3,4-dibromobenzyl)oxymethyl, (3,5-dibromobenzyl)oxymethyl, (2,6-dibromobenzyl)oxymethyl, (2,4-dibromobenzyl)oxymethyl and the like.

[0015] The substituent of the formula (B), represented by R₁, includes the substituent wherein R³ is C1-C3 alkyl and R⁴ is a hydrogen atom, the substituent wherein R³ is C1-C3 alkyl and R⁴ is phenyl which may be substituted with one

or more selected from the substitution group A, and the like; more specifically, acetoxymethyl, α -acetyloxybenzyl and the like.

[0016] The phenyl C1-C4 alkyl in which phenyl may be substituted, represented by R₂, includes C1-C4 alkyl substituted with phenyl which may be substituted with one or more selected from the substitution group A; more specifically, benzyl, 4-halogenobenzyl, 3-halogenobenzyl, 4-trifluoromethylbenzyl, 3-trifluoromethylbenzyl, 4-trifluoromethoxybenzyl, 3-trifluoromethoxybenzyl, 3,4-dihalogenobenzyl, 3,5-dihalogenobenzyl, 2,6-dihalogenobenzyl, 1-phenylethyl, 1-(fluorophenyl)ethyl, 1-(chlorophenyl)ethyl, 1-phenylpropyl, 2-phenylethyl, 2-(fluorophenyl)ethyl, 2-(chlorophenyl)ethyl, 3-phenylpropyl and the like.

[0017] The pyridyl C1-C4 alkyl in which pyridyl may be substituted, represented by R₂, includes C1-C4 alkyl substituted with 2-pyridyl, 3-pyridyl or 4-pyridyl which may be substituted with one or more selected from the substitution group A; more specifically, (2-pyridyl)methyl, (3-pyridyl)methyl, (4-pyridyl)methyl, 1-(2-pyridyl)ethyl, 1-(3-pyridyl)ethyl, 1-(4-pyridyl)ethyl, 2-(2-pyridyl)ethyl, 2-(3-pyridyl)ethyl, 2-(4-pyridyl)ethyl, (6-chloro-2-pyridyl)methyl and the like.

[0018] The pyrimidyl C1-C4 alkyl in which pyrimidyl may be substituted, represented by R₂, includes C1-C4 alkyl substituted with 2-pyrimidyl, 4-pyrimidyl or 5-pyrimidyl which may be substituted with one or more selected from the substitution group A; more specifically, (2-pyrimidyl)methyl, (4-pyrimidyl)methyl, (5-pyrimidyl)methyl and the like.

[0019] Embodiments of the present compound include, for example, the following compounds:

the thiadiazole compound wherein R¹ is methyl in the formula (A);

the thiadiazole compound wherein R¹ is C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl or C4-C7 alkylthioalkoxyalkyl in the formula (A);

the thiadiazole compound wherein R¹ is the C3-C7 alkenyl which has double bond at the 2-position in the formula (A);

the thiadiazole compound wherein R¹ is allyl in the formula (A);

the thiadiazole compound wherein R¹ is (C1-C6 alkoxy)methyl or (C1-C6 alkylthio)methyl in the formula (A);

the thiadiazole compound wherein R¹ is methoxymethyl in the formula (A);

the thiadiazole compound wherein R¹ is ethoxymethyl in the formula (A);

the thiadiazole compound wherein R¹ is methoxyethoxymethyl in the formula (A);

the thiadiazole compound wherein R¹ is phenyl C1-C2 alkyl in which phenyl may be substituted with one or more selected from the substitution group A, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted with one or more selected from the substitution group A, or phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted with one or more selected from the substitution group A in the formula (A);

the thiadiazole compound wherein R¹ is benzyl which may be substituted with one or more selected from the substitution group A, phenyloxymethyl which may be substituted with one or more selected from the substitution group A, or benzyloxymethyl which may be substituted with one or more selected from the substitution group A in the formula (A);

the thiadiazole compound wherein R¹ is benzyl in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with (a) halogen atom(s) in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with (a) fluorine atom(s) in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with (a) chlorine atom(s) in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with methyl in the formula (A); the thiadiazole compound wherein R¹ is benzyl substituted with methoxy in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with trifluoromethyls at one or two places in the formula (A);

the thiadiazole compound wherein R¹ is benzyl substituted with trifluoromethoxy at one place in the formula (A);

the thiadiazole compound wherein R¹ is benzyloxymethyl in the formula (A);

the thiadiazole compound wherein R¹ is acetoxymethyl in the formula (A);

the thiadiazole compound wherein R² is phenyl C1-C4 alkyl in which the phenyl may be substituted with one or more selected from the substitution group A, pyridyl C1-C4 alkyl in which the pyridyl may be substituted with one or more selected from the substitution group A, or pyrimidyl C1-C4 alkyl in which the pyrimidyl may be substituted with one or more selected from the substitution group A in the formula (A);

the thiadiazole compound wherein R² is phenyl C1-C4 alkyl in which the phenyl may be substituted with one or more selected from the group (hereinafter, referred to as the substitution group B) consisting of C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy and halogen atoms, pyridyl C1-C4 alkyl in which the pyridyl may be substituted with one or more selected from the substitution group B, or pyrimidyl C1-C4 alkyl in which the pyrimidyl may be substituted with one or more selected from the substitution group B in the formula (A);

the thiadiazole compound wherein R² is phenyl C1-C4 alkyl in which the phenyl may be substituted with one or more selected from the substitution group B, or pyridyl C1-C4 alkyl in which the pyridyl may be substituted with one or more selected from the substitution group B in the formula (A);

the thiadiazole compound wherein R² is benzyl in the formula (A);

the thiadiazole compound wherein R² is benzyl substituted with (a) halogen atom(s) in the formula (A);
the thiadiazole compound wherein R² is benzyl substituted with (a) fluorine atom(s) in the formula (A);
the thiadiazole compound wherein R² is benzyl substituted with (a) chlorine atom(s) in the formula (A);
the thiadiazole compound wherein R² is benzyl substituted with (a) bromine atom(s) in the formula (A);
5 the thiadiazole compound wherein R² is benzyl substituted with (a) iodine atom(s) in the formula (A);
the thiadiazole compound wherein R² is benzyl substituted with methyl in the formula (A); the thiadiazole compound
wherein R² is benzyl substituted with trifluoromethyls at one or two places in the formula (A);
the thiadiazole compound wherein R² is benzyl substituted with trifluoromethoxy at one place in the formula (A);
the thiadiazole compound wherein R² is 1-phenylethyl in the formula (A);
10 the thiadiazole compound wherein R² is 1-phenylethyl in which the phenyl is substituted with a fluorine atom or a
chlorine atom at one place in the formula (A);
the thiadiazole compound wherein R² is 1-phenylpropyl in the formula (A);
the thiadiazole compound wherein R² is 2-phenylethyl in which the phenyl is substituted with a fluorine atom or
chlorine atom at one place in the formula (A);
15 the thiadiazole compound wherein R² is 3-phenylpropyl in the formula (A);
the thiadiazole compound wherein R² is pyridylmethyl in the formula (A);
the thiadiazole compound wherein R² is pyridylmethyl in which the pyridyl is substituted with a fluorine atom at
one place in the formula (A);
the thiadiazole compound wherein R² is pyridylmethyl in which the pyridyl is substituted with a chlorine atom at
20 one place in the formula (A);
the thiadiazole compound wherein R² is 2-pyridylmethyl substituted with a fluorine or chlorine atom at 6-position
in the formula (A);
the thiadiazole compound wherein R² is 2-(2-pyridyl)ethyl in the formula (A);
the thiadiazole compound wherein R² is 2-(3-pyridyl)ethyl in the formula (A);
25 the thiadiazole compound wherein R² is 2-(4-pyridyl)ethyl in the formula (A);
the thiadiazole compound wherein R² is pyrimidylmethyl in the formula (A);
the thiadiazole compound wherein R² is 2-pyrimidylmethyl in the formula (A);
the thiadiazole compound wherein R² is 4-pyrimidylmethyl in the formula (A);
the thiadiazole compound wherein R² is 5-pyrimidylmethyl in the formula (A);
30 the thiadiazole compound wherein R¹ is methyl, and R² is phenyl C1-C4 alkyl in which the phenyl may be substi-
tuted, pyridyl C1-C4 alkyl in which the pyridyl may be substituted, or pyrimidyl C1-C4 alkyl in which the pyrimidyl
may be substituted in the formula (A);
the thiadiazole compound wherein R¹ is methyl, and R² is phenyl C1-C4 alkyl in which the phenyl may be substi-
tuted, or pyridyl C1-C4 alkyl in which the pyridyl may be substituted in the formula (A);
35 the thiadiazole compound wherein R¹ is methyl, and R² is phenyl C1-C4 alkyl in which the phenyl may be substituted
with one or more selected from the substitution group A, pyridyl C1-C4 alkyl in which the pyridyl may be substituted
with one or more selected from the substitution group A, or pyrimidyl C1-C4 alkyl in which the pyrimidyl may be
substituted with one or more selected from the substitution group A in the formula (A);
the thiadiazole compound wherein R¹ is methyl, and R² is phenyl C1-C4 alkyl in which the phenyl may be substituted
40 with one or more selected from the substitution group A, or pyridyl C1-C4 alkyl in which the pyridyl may be sub-
stituted with one or more selected from the substitution group A in the formula (A);
the thiadiazole compound wherein R¹ is C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxy-
alkoxyalkyl or C4-C7 alkylthioalkoxyalkyl, and R² is phenyl C1-C4 alkyl in which the phenyl may be substituted
with one or more selected from the substitution group A, pyridyl C1-C4 alkyl in which the pyridyl may be substituted
45 with one or more selected from the substitution group A, or pyrimidyl C1-C4 alkyl in which the pyrimidyl may be
substituted with one or more selected from the substitution group A in the formula (A);
the thiadiazole compound wherein R¹ is phenyl C1-C2 alkyl in which phenyl may be substituted with one or more
selected from the substitution group A, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted with one or
more selected from the substitution group A, or phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted with
50 one or more selected from the substitution group A, and R² is phenyl C1-C4 alkyl in which the phenyl may be
substituted with one or more selected from the substitution group A, pyridyl C1-C4 alkyl in which the pyridyl may
be substituted with one or more selected from the substitution group A, or pyrimidyl C1-C4 alkyl in which the
pyrimidyl may be substituted with one or more selected from the substitution group A in the formula (A);
the thiadiazole compound wherein R¹ is (C1-C6 alkoxy)methyl or (C1-C6 alkylthio)methyl, and R² is phenyl C1-C4
55 alkyl in which the phenyl may be substituted with one or more selected from the substitution group A, pyridyl C1-C4
alkyl in which the pyridyl may be substituted with one or more selected from the substitution group A, or pyrimidyl
C1-C4 alkyl in which the pyrimidyl may be substituted with one or more selected from the substitution group A in
the formula (A);

the thiadiazole compound wherein R¹ is benzyl which may be substituted with one or more selected from the substitution group A, phenyloxymethyl which may be substituted with one or more selected from the substitution group A, or benzyloxymethyl which may be substituted with one or more selected from the substitution group A, and R² is phenyl C1-C4 alkyl in which the phenyl may be substituted with one or more selected from the substitution group A, pyridyl C1-C4 alkyl in which the pyridyl may be substituted with one or more selected from the substitution group A, or pyrimidyl C1-C4 alkyl in which the pyrimidyl may be substituted with one or more selected from the substitution group A in the formula (A);

the thiadiazole compound wherein R¹ is methyl, and R² is benzyl which may be substituted with (a) halogen atom (s) in the formula (A);

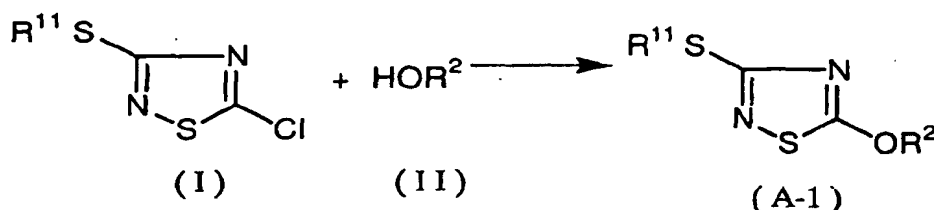
the thiadiazole compound wherein R¹ is C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, or C4-C7 alkylthioalkoxyalkyl, and R² is benzyl substituted with a halogen atom in the formula (A);

the thiadiazole compound wherein R¹ is benzyl which may be substituted with one or more selected from the substitution group A, phenyloxymethyl which may be substituted with one or more selected from the substitution group A, or benzyloxymethyl which may be substituted with one or more selected from the substitution group A, and R² is benzyl substituted with a halogen atom in the formula (A);

the thiadiazole compound wherein R¹ is benzyl, and R² is benzyl substituted with a halogen atom in the formula (A).

[0020] The following will describe a production process for the present compounds.

[0021] In the present compound, the compound wherein R¹ is methy, C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, C4-C7 alkylthioalkoxyalkyl, phenyl C1-C2 alkyl in which phenyl may be substituted, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted, phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, namely the compound of the formula (A-1), can be produced, for example, by making a 5-chloro-1,2,4-thiadiazole compound of the formula (I) react with an alcohol compound of the formula (II).

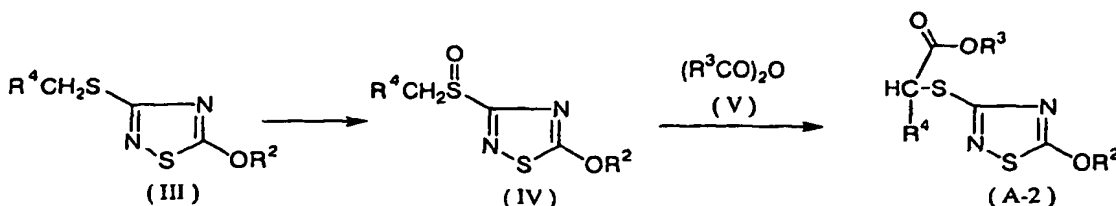


wherein R¹¹ is methy, C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, C4-C7 alkylthioalkoxyalkyl, phenyl C1-C2 alkyl in which phenyl may be substituted, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted, phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, and R² has the same meaning as described above.

[0022] The reaction is generally carried out in the presence of base in a solvent. The solvent to be used in the reaction includes, for example, aliphatic hydrocarbons such as hexane, heptane, octane and the like; aromatic hydrocarbons such as toluene, xylene and the like; ethers such as tetrahydrofuran, 1,4-dioxane, methyl tert-butyl ether, 1,2-dimethoxyethane and the like; acid amides such as N,N-dimethylformamide and the like, and mixtures thereof. The base to be used in the reaction includes, for example, inorganic base such as sodium hydride, potassium carbonate and the like. Concerning the amount of the reagents, the amount of the alcohol compound of the formula (II) is usually 1 to 1.5 mole based on 1 mole of the 5-chloro-1,2,4-thiadiazole compound of the formula (I), and the amount of the base is usually 1 to 1.5 mole based on 1 mole of the alcohol compound of the formula (II). The reaction temperature is usually in the range of -20°C to 80°C, and the reaction time is usually in the range of 0.5 to 24 hours.

[0023] After completion of the reaction, the present compound of the formula (A-1) can be isolated by subjecting the reaction mixture to post-treatment such as adding the reaction mixture into water, extracting with an organic solvent, drying and concentrating the organic phase obtained and the like. The isolated present compound of the formula (A-1) can be purified by a technique such as chromatography, recrystallization and the like, if necessary.

[0024] In the present compound, the compound wherein R¹ is the group of the formula (B), namely the compound of the formula (A-2), can be produced, for example, by making the thiadiazole compound of the formula (III) react with an oxidizing reagent to obtain the sulfoxide compound of the formula (IV) (hereinafter, referred to as Step 1), and making the sulfoxide compound of the formula (IV) react with the acid anhydride of the formula (V) (hereinafter, referred to as Step 2).



wherein R^2 , R^3 and R^4 have the same meaning as described above.

Step 1

[0025] The reaction is generally carried out in a solvent. The solvent to be used in the reaction includes, for example, halogenated aliphatic hydrocarbons such as dichloromethane, chloroform and the like.

[0026] The oxidizing reagent to be used in the reaction includes, for example, peracid such as 2-chloro perbenzoic acid and the like. The amount of the oxidizing reagent to be used in the reaction is usually 1 to 1.5 mole based on 1 mole of the thiadiazole compound of the formula (III). The reaction temperature is usually in the range of -20°C to 30°C , and the reaction time is usually in the range of momentary to 24 hours.

[0027] After completion of the reaction, the sulfoxide compound of the formula (IV) can be isolated by subjecting the reaction mixture to post-treatment such as adding the reaction mixture into water, extracting with an organic solvent, if necessary washing the organic phase with an aqueous solution of reducing reagent such as sodium sulfite, sodium thiosulfate and the like, drying and concentrating the organic phase obtained and the like. The isolated sulfoxide compound of the formula (IV) can be purified by a technique such as chromatography, recrystallization and the like.

Step 2

[0028] The reaction is carried out by making the sulfoxide compound of the formula (IV) react with the acid anhydride of the formula (V), and generally carried out in the presence of base, and may be carried out in a solvent. The base to be used in the reaction includes, for example, pyridines such as 2,6-lutidine and the like, and alkali metal salt of acetic acid such as sodium acetate and the like.

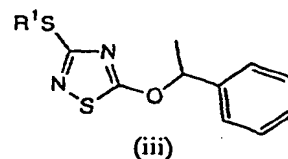
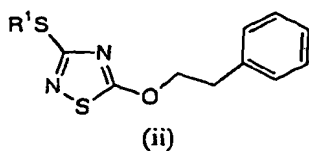
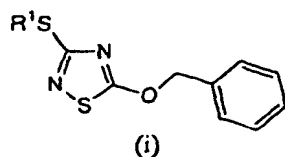
[0029] Concerning the amount of the reagents, the amount of the acid anhydride of the formula (V) is usually 1 to 50 moles and the amount of the base is 1 to 10 moles, based on 1 mole of the sulfoxide compound of the formula (IV).

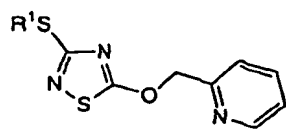
[0030] The reaction temperature is usually in the range of 0°C to 150°C , and the reaction time is usually in the range of 1 to 72 hours.

[0031] After completion of the reaction, the present compound of the formula (A-2) can be isolated by subjecting the reaction mixture to post-treatment such as adding the reaction mixture into an aqueous solution of sodium hydrogen carbonate and the like, extracting with an organic solvent, drying and concentrating the organic phase obtained and the like. The isolated present compound of the formula (A-2) can be purified by a technique such as chromatography, recrystallization and the like.

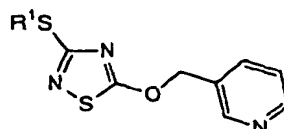
[0032] The compound of the formula (1) can be produced, for example, by the method described in Chem. Ber. 90, 892 (1957).

[0033] Next, examples of the present compound are shown.

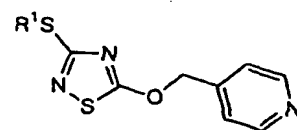




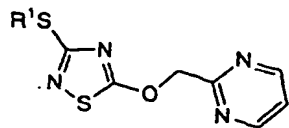
(iv)



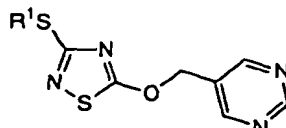
(v)



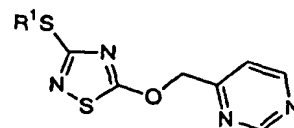
(vi)



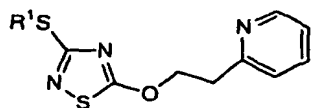
(vii)



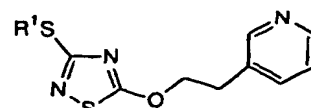
(viii)



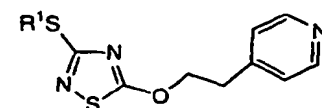
(ix)



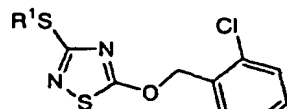
(x)



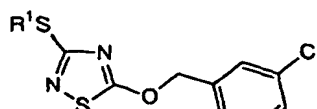
(xi)



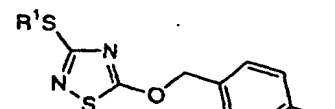
(xii)



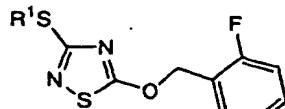
(xiii)



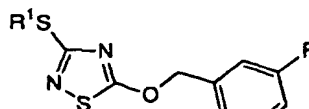
(xiv)



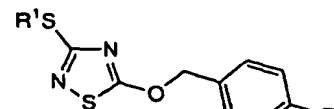
(xv)



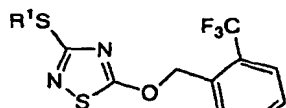
(xvi)



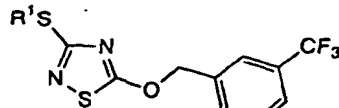
(xvii)



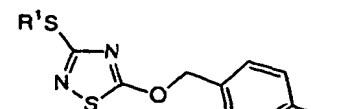
(xviii)



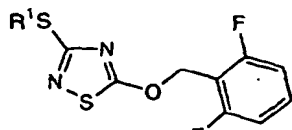
(xix)



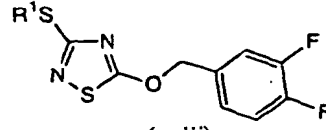
(xx)



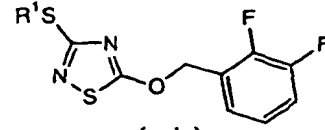
(xxi)



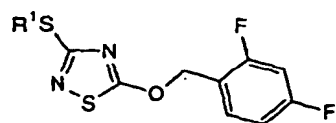
(xxii)



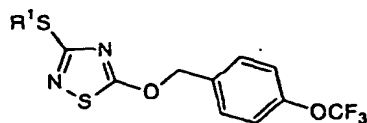
(xxiii)



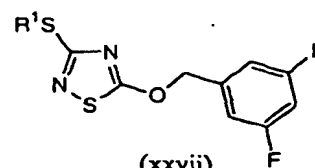
(xxiv)



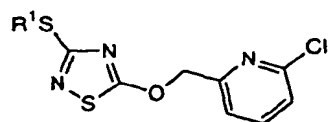
(xxv)



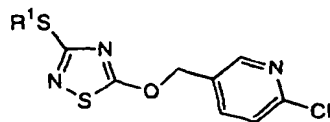
(xxvi)



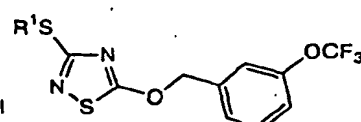
(xxvii)



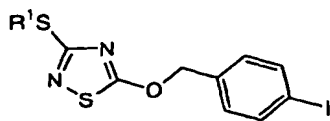
(xxviii)



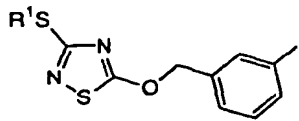
(xxix)



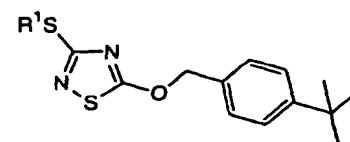
(xxx)



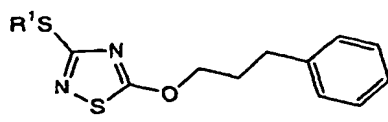
(xxxi)



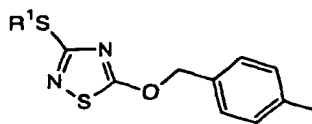
(xxxii)



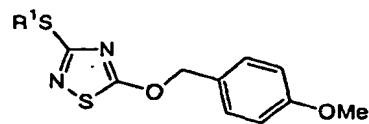
(xxxiii)



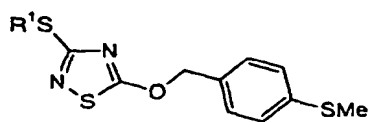
(xxxiv)



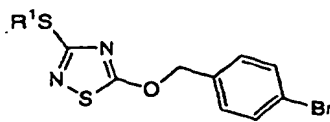
(xxxv)



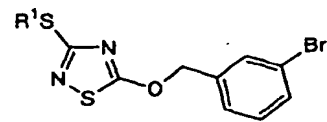
(xxxvi)



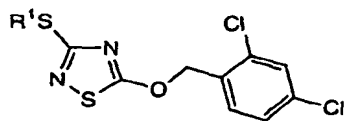
(xxxvii)



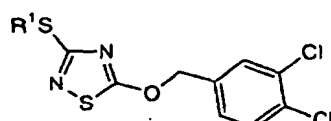
(xxxviii)



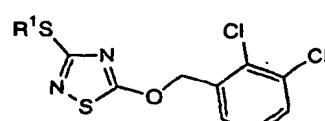
(xxxix)



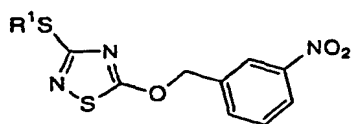
(xli)



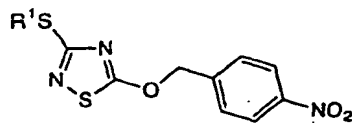
(xlii)



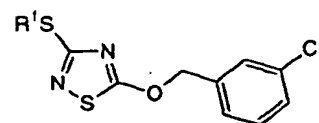
(xliii)



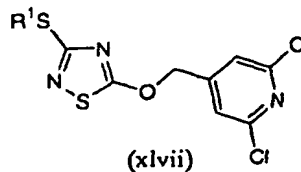
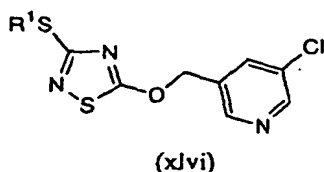
(xliv)



(xlv)



(xlvi)



[0034] The compound wherein the R¹ in the formula (i) is the substituent described below.

[0035] Methyl; allyl, 2-butenyl, 3-methyl-2-butenyl, 2-pentenyl; methoxymethyl, ethoxymethyl, propoxymethyl, isopropoxymethyl, butoxymethyl, isobutoxymethyl, sec-butoxymethyl, tert-butoxymethyl; methylthiomethyl, ethylthiomethyl, propylthiomethyl, isopropylthiomethyl; (methoxyethoxy)methyl, (ethoxyethoxy)methyl; (methylthioethoxy)methyl; benzyl, 2-methylbenzyl, 3-methylbenzyl, 4-methylbenzyl, 2-trifluoromethylbenzyl, 3-trifluoromethylbenzyl, 4-trifluoromethylbenzyl, 2-methoxybenzyl, 3-methoxybenzyl, 4-methoxybenzyl, 2-methylthiobenzyl, 3-methylthiobenzyl, 4-methylthiobenzyl, 2-trifluoromethoxybenzyl, 3-trifluoromethoxybenzyl, 4-trifluoromethoxybenzyl, 2-nitrobenzyl, 3-nitrobenzyl, 4-nitrobenzyl, 2-cyanobenzyl, 3-cyanobenzyl, 4-cyanobenzyl, 2-fluorobenzyl, 3-fluorobenzyl, 4-fluorobenzyl, 3,4-difluorobenzyl, 3,5-difluorobenzyl, 2,6-difluorobenzyl, 2,4-difluorobenzyl, 2-chlorobenzyl, 3-chlorobenzyl, 4-chlorobenzyl, 3,4-dichlorobenzyl, 3,5-dichlorobenzyl, 2,6-dichlorobenzyl, 2,4-dichlorobenzyl, 2-bromobenzyl, 3-bromobenzyl, 4-bromobenzyl, 3,4-dibromobenzyl, 3,5-dibromobenzyl, 2,6-dibromobenzyl, 2,4-dibromobenzyl, 1-phenylethyl, 1-(2-methylphenyl)ethyl, 1-(3-methylphenyl)ethyl, 1-(4-methylphenyl)ethyl, 1-(2-trifluoromethylphenyl)ethyl, 1-(3-trifluoromethylphenyl)ethyl, 1-(4-trifluoromethylphenyl)ethyl, 1-(2-methoxyphenyl)ethyl, 1-(3-methoxyphenyl)ethyl, 1-(4-methoxyphenyl)ethyl, 1-(2-methylthiophenyl)ethyl, 1-(3-methylthiophenyl)ethyl, 1-(4-methylthiophenyl)ethyl, 1-(2-trifluoromethoxyphenyl)ethyl, 1-(3-trifluoromethoxyphenyl)ethyl, 1-(4-trifluoromethoxyphenyl)ethyl, 1-(2-nitrophenyl)ethyl, 1-(3-nitrophenyl)ethyl, 1-(4-nitrophenyl)ethyl, 1-(2-cyanophenyl)ethyl, 1-(3-cyanophenyl)ethyl, 1-(4-cyanophenyl)ethyl, 1-(2-fluorophenyl)ethyl, 1-(3-fluorophenyl)ethyl, 1-(4-fluorophenyl)ethyl, 1-(3,4-difluorophenyl)ethyl, 1-(3,5-difluorophenyl)ethyl, 1-(2,6-difluorophenyl)ethyl, 1-(2,4-difluorophenyl)ethyl, 1-(2-chlorophenyl)ethyl, 1-(3-chlorophenyl)ethyl, 1-(4-chlorophenyl)ethyl, 1-(3,4-dichlorophenyl)ethyl, 1-(3,5-dichlorophenyl)ethyl, 1-(2,6-dichlorophenyl)ethyl, 1-(2,4-dichlorophenyl)ethyl, 1-(2-bromophenyl)ethyl, 1-(3-bromophenyl)ethyl, 1-(4-bromophenyl)ethyl, 1-(3,4-dibromophenyl)ethyl, 1-(3,5-dibromophenyl)ethyl, 1-(2,6-dibromophenyl)ethyl, 1-(2,4-dibromophenyl)ethyl, 2-phenylethyl, 2-(2-methylphenyl)ethyl, 2-(3-methylphenyl)ethyl, 2-(4-methylphenyl)ethyl, 2-(2-trifluoromethylphenyl)ethyl, 2-(3-trifluoromethylphenyl)ethyl, 2-(4-trifluoromethylphenyl)ethyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl, 2-(2-methylthiophenyl)ethyl, 2-(3-methylthiophenyl)ethyl, 2-(4-methylthiophenyl)ethyl, 2-(2-trifluoromethoxyphenyl)ethyl, 2-(3-trifluoromethoxyphenyl)ethyl, 2-(4-trifluoromethoxyphenyl)ethyl, 2-(2-nitrophenyl)ethyl, 2-(3-nitrophenyl)ethyl, 2-(4-nitrophenyl)ethyl, 2-(2-cyanophenyl)ethyl, 2-(3-cyanophenyl)ethyl, 2-(4-cyanophenyl)ethyl, 2-(2-fluorophenyl)ethyl, 2-(3-fluorophenyl)ethyl, 2-(4-fluorophenyl)ethyl, 2-(3,4-difluorophenyl)ethyl, 2-(3,5-difluorophenyl)ethyl, 2-(2,6-difluorophenyl)ethyl, 2-(2,4-difluorophenyl)ethyl, 2-(2-chlorophenyl)ethyl, 2-(3-chlorophenyl)ethyl, 2-(4-chlorophenyl)ethyl, 2-(3,4-dichlorophenyl)ethyl, 2-(3,5-dichlorophenyl)ethyl, 2-(2,6-dichlorophenyl)ethyl, 2-(2,4-dichlorophenyl)ethyl, 2-(2-bromophenyl)ethyl, 2-(3-bromophenyl)ethyl, 2-(4-bromophenyl)ethyl, 2-(3,4-dibromophenyl)ethyl, 2-(3,5-dibromophenyl)ethyl, 2-(2,6-dibromophenyl)ethyl, 2-(2,4-dibromophenyl)ethyl; phenyloxymethyl, 2-(phenyloxy)ethyl, 2-(phenyloxy)ethyl (2-methylphenyl)oxymethyl, (3-methylphenyl)oxymethyl, (4-methylphenyl)oxymethyl, (2-trifluoromethylphenyl)oxymethyl, (3-trifluoromethylphenyl)oxymethyl, (4-trifluoromethylphenyl)oxymethyl, (2-methoxyphenyl)oxymethyl, (3-methoxyphenyl)oxymethyl, (4-methoxyphenyl)oxymethyl, (2-methylthiophenyl)oxymethyl, (3-methylthiophenyl)oxymethyl, (4-methylthiophenyl)oxymethyl, (2-trifluoromethoxyphenyl)oxymethyl, (3-trifluoromethoxyphenyl)oxymethyl, (4-trifluoromethoxyphenyl)oxymethyl, (2-nitrophenyl)oxymethyl, (3-nitrophenyl)oxymethyl, (4-nitrophenyl)oxymethyl, (2-cyanophenyl)oxymethyl, (3-cyanophenyl)oxymethyl, (4-cyanophenyl)oxymethyl, (2-fluorophenyl)oxymethyl, (3-fluorophenyl)oxymethyl, (4-fluorophenyl)oxymethyl, (3,4-difluorophenyl)oxymethyl, (3,5-difluorophenyl)oxymethyl, (2,6-difluorophenyl)oxymethyl, (2,4-difluorophenyl)oxymethyl, (2-chlorophenyl)oxymethyl, (3-chlorophenyl)oxymethyl, (4-chlorophenyl)oxymethyl, (3,4-dichlorophenyl)oxymethyl, (3,5-dichlorophenyl)oxymethyl, (2,6-dichlorophenyl)oxymethyl, (2,4-dichlorophenyl)oxymethyl, (2-bromophenyl)oxymethyl, (3-bromophenyl)oxymethyl, (4-bromophenyl)oxymethyl, (3,4-dibromophenyl)oxymethyl, (3,5-dibromophenyl)oxymethyl, (2,6-dibromophenyl)oxymethyl, (2,4-dibromophenyl)oxymethyl; benzyloxymethyl, (2-methylbenzyl)oxymethyl, (3-methylbenzyl)oxymethyl, (4-methylbenzyl)oxymethyl, (2-trifluoromethylbenzyl)oxymethyl, (3-trifluoromethylbenzyl)oxymethyl, (4-trifluoromethylbenzyl)oxymethyl, (2-methoxybenzyl)oxymethyl, (3-methoxybenzyl)oxymethyl, (4-methoxybenzyl)oxymethyl, (2-methylthiobenzyl)oxymethyl, (3-methylthiobenzyl)oxymethyl, (4-methylthiobenzyl)oxymethyl, (2-trifluoromethoxybenzyl)oxymethyl, (3-trifluoromethoxybenzyl)oxymethyl, (4-trifluoromethoxybenzyl)oxymethyl, (2-nitrobenzyl)oxymethyl, (3-nitrobenzyl)oxymethyl, (4-nitrobenzyl)oxymethyl, (2-cyanobenzyl)oxymethyl, (3-cyanobenzyl)oxymethyl, (4-cyanobenzyl)oxymethyl, (2-fluorobenzyl)oxymethyl, (3-fluorobenzyl)oxyme-

thyl, (4-fluorobenzyl)oxymethyl, (3,4-difluorobenzyl)oxymethyl, (3,5-difluorobenzyl)oxymethyl, (2,6-difluorobenzyl)oxymethyl, (2,4-difluorobenzyl)oxymethyl, (2-chlorobenzyl)oxymethyl, (3-chlorobenzyl)oxymethyl, (4-chlorobenzyl)oxymethyl, (3,4-dichlorobenzyl)oxymethyl, (3,5-dichlorobenzyl)oxymethyl, (2,6-dichlorobenzyl)oxymethyl, (2,4-dichlorobenzyl)oxymethyl, (2-bromobenzyl)oxymethyl, (3-bromobenzyl)oxymethyl, (4-bromobenzyl)oxymethyl, (3,4-dibromobenzyl)oxymethyl, (3,5-dibromobenzyl)oxymethyl, (2,6-dibromobenzyl)oxymethyl, (2,4-dibromobenzyl)oxymethyl; acetyloxymethyl, propionyloxymethyl, α -acetoxybenzyl.

[0036] The compound wherein the R¹ in the formula (ii) is the substituent described above.

[0037] The compound wherein the R¹ in the formula (ii) is the substituent described above.

[0038] The compound wherein the R¹ in the formula (iii) is the substituent described above.

[0039] The compound wherein the R¹ in the formula (iv) is the substituent described above.

[0040] The compound wherein the R¹ in the formula (v) is the substituent described above.

[0041] The compound wherein the R¹ in the formula (vi) is the substituent described above.

[0042] The compound wherein the R¹ in the formula (vii) is the substituent described above.

[0043] The compound wherein the R¹ in the formula (viii) is the substituent described above.

[0044] The compound wherein the R¹ in the formula (ix) is the substituent described above.

[0045] The compound wherein the R¹ in the formula (x) is the substituent described above.

[0046] The compound wherein the R¹ in the formula (xi) is the substituent described above.

[0047] The compound wherein the R¹ in the formula (xii) is the substituent described above.

[0048] The compound wherein the R¹ in the formula (xiii) is the substituent described above.

[0049] The compound wherein the R¹ in the formula (xiv) is the substituent described above.

[0050] The compound wherein the R¹ in the formula (xv) is the substituent described above.

[0051] The compound wherein the R¹ in the formula (xvi) is the substituent described above.

[0052] The compound wherein the R¹ in the formula (xvii) is the substituent described above.

[0053] The compound wherein the R¹ in the formula (xviii) is the substituent described above.

[0054] The compound wherein the R¹ in the formula (xix) is the substituent described above.

[0055] The compound wherein the R¹ in the formula (xx) is the substituent described above.

[0056] The compound wherein the R¹ in the formula (xxi) is the substituent described above.

[0057] The compound wherein the R¹ in the formula (xxii) is the substituent described above.

[0058] The compound wherein the R¹ in the formula (xxiii) is the substituent described above.

[0059] The compound wherein the R¹ in the formula (xxiv) is the substituent described above.

[0060] The compound wherein the R¹ in the formula (xxv) is the substituent described above.

[0061] The compound wherein the R¹ in the formula (xxvi) is the substituent described above.

[0062] The compound wherein the R¹ in the formula (xxvii) is the substituent described above.

[0063] The compound wherein the R¹ in the formula (xxviii) is the substituent described above.

[0064] The compound wherein the R¹ in the formula (xxix) is the substituent described above.

[0065] The compound wherein the R¹ in the formula (xxx) is the substituent described above.

[0066] The compound wherein the R¹ in the formula (xxxi) is the substituent described above.

[0067] The compound wherein the R¹ in the formula (xxxii) is the substituent described above.

[0068] The compound wherein the R¹ in the formula (xxxiii) is the substituent described above.

[0069] The compound wherein the R¹ in the formula (xxxiv) is the substituent described above.

[0070] The compound wherein the R¹ in the formula (xxxv) is the substituent described above.

[0071] The compound wherein the R¹ in the formula (xxxvi) is the substituent described above.

[0072] The compound wherein the R¹ in the formula (xxxvii) is the substituent described above.

[0073] The compound wherein the R¹ in the formula (xxxviii) is the substituent described above.

[0074] The compound wherein the R¹ in the formula (xxxix) is the substituent described above.

[0075] The compound wherein the R¹ in the formula (xl) is the substituent described above.

[0076] The compound wherein the R¹ in the formula (xli) is the substituent described above.

[0077] The compound wherein the R¹ in the formula (xlii) is the substituent described above.

[0078] The compound wherein the R¹ in the formula (xliii) is the substituent described above.

[0079] The compound wherein the R¹ in the formula (xliv) is the substituent described above.

[0080] The compound wherein the R¹ in the formula (xlv) is the substituent described above.

[0081] The compound wherein the R¹ in the formula (xlvi) is the substituent described above.

[0082] The compound wherein the R¹ in the formula (xlvii) is the substituent described above.

[0083] The arthropod pests against which the present compound has control activity may include, for example, insect pests and acarine pests. Specific examples are listed below:

Hemiptera: Delphacidae such as *Laodelphax striatellus*, *Nilaparvata lugens*, and *Sogatella furcifera*; Deltocephalidae such as *Nephotettix cincticeps* and *Empoasca onukii*; Aphididae such as *Aphis gossypii* and *Myzus persicae*;

Pentatomidae; Aleyrodidae such as *Trialeurodes vaporariorum*, *Bemisia tabaci*, and *Bemisia argentifolii*; Coccidae; Tingidae; Psyllidae;

Lepidoptera: Pyralidae such as *Chilo suppressalis*, *Cnaphalocrocis medinalis*, *Ostrinia nubilalis*, and *Parapediasia teterella*; Noctuidae such as *Spodoptera litura*, *Spodoptera exigua*, *Pseudaletia separata*, *Mamestra brassicae*, *Agrotis ipsilon*, *Thoricoplusia* spp., *Heliothis* spp., *Helicoverpa* spp., and *Earias* spp.; Pieridae such as *Pieris rapae crucivora*; Tortricidae such as *Adoxophyes orana fasciata*, *Grapholita molesta*, and *Cydia pomonella*; Carposinidae such as *Carposina niponensis*; Lyonetiidae such as *Lyonetia clerkella*; Gracillariidae such as *Phyllonorycter ringoniella*; Phyllocnistidae such as *Phyllocnistis citrella*; Yponomeutidae such as *Plutela xylostella*; Gelechiidae such as *Pectinophora gossypiella*; Arctiidae; Tineidae;

Diptera: Calicidae such as *Culex pipiens pallens*, *Culex tritaeniorhynchus*, and *Culex quinquefasciatus*; Aedes spp. such as *Aedes aegypti* and *Aedes albopictus*; Anopheles spp. such as *Anopheles sinensis*; Chironomidae; Muscidae such as *Musca domestica* and *Muscina stabulans*; Calliphoridae; Sarcophagidae; Fanniidae; Anthomyiidae such as *Delia platura* and *Delia antiqua*; Tephritidae; Drosophilidae; Psychodidae; Tabanidae; Simuliidae; Stomox-yidae; Agromyzidae;

Coleoptera: Diabrotica spp. such as *Diabrotica virgifera virgifera* and *Diabrotica undecimpunctata howardi*; Scarabaeidae such as *Anomala cuprea* and *Anomala rufocuprea*; Curculionidae such as *Sitophilus zeamais*, *Lissorhop-trus oryzophilus*, and *Callosobruchus chinenensis*; Tenebrionidae such as *Tenebrio molitor* and *Tribolium casta-neum*; Chrysomelidae such as *Oulema oryzae*, *Aulacophora femoralis*, *Phyllotreta striolata*, and *Leptinotarsa de-cemlineata*; Anobiidae; Epilachna spp. such as *Epilachna vigintioctopunctata*; Lyctidae; Bostrychidae; Ceramby-cidae; *Paederus fuscipes*;

Thysanoptera: Thripidae spp. including Thrips spp. such as *Thrips palmi*, Frankliniella spp. such as *Frankliniella occidentalis*, and Sciltothrips spp. such as *Sciltothrips dorsalis*; Phlaeothripidae spp.;

Hymenoptera: Tenthredinidae; Formicidae; Vespidae;

Dictyoptera: Periplaneta spp.; Blatta spp.;

Orthoptera: Acrididae; Gryllotalpidae;

Aphaniptera: Pulex irritans;

Anoplura: Pediculus humanus;

Isoptera: Termitidae;

Acarina: Tetranychidae.

[0084] The arthropod controlling composition of the present invention may be the present compound itself. The arthropod controlling composition of the present invention is usually produced by mixing the present compound, and a solid carrier, a liquid carrier, a gaseous carrier and/or bait (material for poison bait), if necessary, adding a surfactant and other adjuvant, and formulating to an oil solution, an emulsifiable concentrate, a flowable formulation, a wettable powder, a granule, a powder, a poison bait, a microcapsule and the like. In the pesticide composition of the present invention, the present compound is usually contained in an amount of 0.1% to 95% by weight.

[0085] The solid carrier for formulation includes, for example, a fine power and a granule of clays (e.g., kaolin clay, diatomite, bentonite, Fubasami clay, acid clay, etc.), synthetic hydrated silicon oxide, talc, ceramic, other inorganic minerals (e.g., sericite, quartz, sulfur, activated carbon, calcium carbonate, hydrated silica) or chemical fertilizers (e.g., ammonium sulfate, ammonium phosphate, ammonium nitrate, ammonium chloride, urea). The liquid carrier for formulation includes, for example, water, alcohols (e.g., methanol, ethanol, 2-propyl alcohol, ethylene glycol), ketones (e.g., acetone, methyl ethyl ketone, methyl isobutyl ketone, cyclohexanone), aromatic hydrocarbons (e.g., toluene, xylene, ethylbenzen, methyl naphthalene), aliphatic hydrocarbons (e.g., hexane, cyclohexane, kerosine, light oil), esters (e.g., ethyl acetate, butyl acetate), nitriles (e.g., acetonitrile, isobutyronitrile), ethers (e.g., ethylene glycol dimethyl ether, diisopropyl ether, 1,4-dioxane, tetrahydrofuran), acid amides (e.g., N,N-dimethylformamide, N,N-dimethylacetamide), halogenated hydrocarbons (e.g., dichloromethane, trichloroethane), dimethylsulfoxide, vegetable oils (e.g., soy bean oil, cotton seed oil).

[0086] The gaseous carrier for formulation includes, for example, fluorocarbons, butane gas, liquefied petroleum gas (LPG), dimethyl ether, carbon dioxide and the like.

[0087] The surfactant for formulation includes, for example, alkyl sulfate salts, alkylsulfonic acid salts, alkylarylsulfonic acid salts, alkyl aryl ethers and their polyoxyethylene derivatives, polyethylene glycol ethers, polyhydric alcohol esters, and sugar alcohol derivatives.

[0088] The other adjuvant for formulation includes, for example, binders, dispersants and stabilizers, and specifically for example, casein, gelatin, polysaccharides (e.g., starch, gum arabic, cellulose derivatives, alginic acid), lignin derivatives, bentonite, sugars, synthetic water-soluble polymers (e.g., polyvinyl alcohol, polyvinylpyrrolidone, polyacrylic acid), PAP (isopropyl acid phosphate), BHT (2,6-di-t-butyl-4-methylphenol), BHA (a mixture of 2-t-butyl-4-methoxyphenol and 3-t-butyl-4-methoxyphenol), mineral oils, fatty acids, and fatty acid esters.

[0089] A base material for the poison bait includes, for example, grain powders, vegetable oils, sugars, and crystalline

cellulose, and further, if necessary, antioxidants such as dibutylhydroxytoluene and nordihydroguaiaretic acid, preservatives such as dehydroacetic acid, agents for preventing children and pets from erroneously eating such as hot pepper powder, and pest-attractive flavors such as cheese flavor, onion flavor and peanut oil may be added to the base material.

[0090] The arthropod controlling composition is used by applying the arthropod controlling composition to pests directly and/or habitats of pests (e.g., nest, plant, soil). In the case of controlling the arthropod pest which is parasitic on a cultivating plant, for example, the arthropod controlling composition of the present invention is sprayed onto the upper side of the cultivating plant, poured into the vicinities of a root of the cultivation plant.

[0091] When the pesticide composition of the present invention is used for control of pests in agriculture and forestry, the application amount is usually 0.1 to 10,000 g as an active ingredient per 1,000 m². The emulsifiable concentrates, flowables, wettable powders and microcapsule formulations are usually applied after dilution with water to have an active ingredient concentration of 10 to 10,000 ppm, while oil solutions, powders and granules are usually applied as such.

[0092] When the pesticide composition of the present invention is used for control of an epidemic, the application amount is usually 0.001 to 100 mg as an active ingredient per 1 m² in the case of application by plane, and 0.001 to 10 mg as an active ingredient per 1 m³ in the case of application for an open space surface. The emulsifiable concentrates, wettable powders and flowables are usually applied after dilution with water to have an active ingredient concentration of 0.01 to 100,000 ppm, while oil solutions, aerosols, smoking agents and poison baits are usually applied as such.

[0093] The pesticide composition of the present invention can also be used in admixture or combination with other insecticides, nematocides, acaricides, fungicides, herbicides, plant growth regulators, synergists, fertilizers, soil conditioners, animal feeds, and the like.

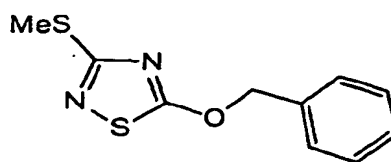
[0094] The active ingredients of such other insecticides and acaricides include, for example, organophosphorus compounds such as fenitrothion, fenthion, pyridaphenthion, diazinon, chlorpyrifos, chlorpyrifos-methyl, acephate, methidathion, disulfoton, DDVP, sulprofos, profenofos, cyanophos, dioxabenzofos, dimethoate, phenthoate, malathion, trichlorfon, azinphos-methyl, monocrotophos, dicrotophos, ethion, and fosthiazate; carbamate compounds such as BPMC, benfuracarb, propoxur, carbosulfan, carbaryl, methomyl, ethiofencarb, aldicarb, oxamyl, fenothiocarb, and thiopicarb; pyrethroid compounds such as etofenprox, fenvalerate, esfenvalerate, fenpropathrin, cypermethrin, alfa-cypermethrin, zeta-cypermethrin, permethrin, cyhalothrin, lambda-cyhalothrin, cyfluthrin, beta-cyfluthrin, deltamethrin, cycloprothrin, tau-fluvalinate, flucythrinate, bifenthrin, acrinathrin, tralomethrin, silafluofen, and halfenprox; neonicotinoid compounds such as acetamiprid, thiamethoxam, and thiacloprid; benzoylphenylurea compounds such as chlorfluazuron, teflubenzuron, flufenoxuron, and lufenuron; benzoylhydrazide compounds such as tebufenozide, chromafenozide, methoxyfenozide and halofenozide; thiadiazine derivatives such as buprofezin; nereistoxin derivatives such as cartap, thiocyclam, and bensultap; chlorinated hydrocarbon compounds such as endosulfan, gamma-BHC, and 1,1-bis(chlorophenyl)-2,2,2-trichloroethanol; formamidine derivatives such as amitraz and chlordimeform; thiourea derivatives such as diafenthiuron; phenylpyrazole derivatives such as ethiprole, and acetoprole; chlorfenapyr; pymetrozine; spinosad; indoxacarb; bromopropylate; tetradifon; chinomethionat; propargite; fenbutatin oxide; hexythiazox; etoxazole; clofentezine; pyridaben; pyridalyl; fenpyroximate; tebufenpyrad; pyrimidifen; fenazaquin; acequinocyl; bifenazate; spirodiclofen; spiromesifen; milbemectin; avermectin; emamectin benzoate; azadirachtin; polynactin complexes such as tetranactin, dinactin, and trinactin; and the like.

[0095] The present invention will be further illustrated by the following production examples, formulation examples, and test examples; however, the present invention is not limited to these examples. In the following production examples, the data of ¹H-NMR were measured in a solvent of deuterium chloroform with tetramethylsilane as the internal standard.

[0096] Production Examples of the present compounds are exemplified.

Production Example 1

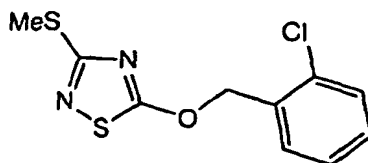
[0097] Into 11 ml of N,N-dimethylformamide were dissolved 190 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 123 mg of benzyl alcohol, 59 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 4.5 hours at room temperature. The reaction mixture was added to saturated ammonium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 190 mg of 5-benzyloxy-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (1)).



¹H-NMR: 7.43-7.38 (m, 5H), 5.49 (s, 2H), 2.62 (s, 3H)

Production Example 2

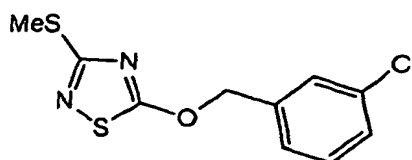
[0098] Into 2 ml of N,N-dimethylformamide was dissolved 215 mg of 2-chlorobenzyl alcohol, 59 mg of sodium hydride (60% in oil) was added thereto under ice-cooling. After stirring for 30 minutes, a solution of 250 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole in 2 ml of N,N-dimethylformamide was added dropwise into the mixture and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 2 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 188 mg of 5-(2-chlorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (2)).



¹H-NMR: 7.53-7.29 (m, 4H), 5.61 (s, 2H), 2.62 (s, 3H)

Production Example 3

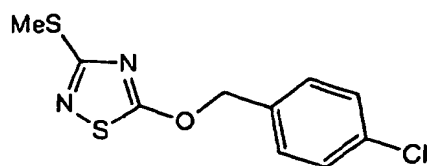
[0099] By using 215 mg of 3-chlorobenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 190 mg of 5-(3-chlorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (3)).



¹H-NMR: 7.45-7.30 (m, 4H), 5.47 (s, 2H), 2.61 (s, 3H)

Production Example 4

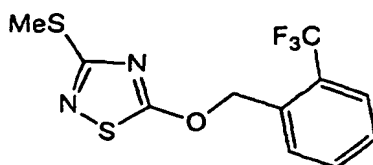
[0100] Into 2 ml of N,N-dimethylformamide were dissolved 150 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 154 mg of 4-chlorobenzyl alcohol, 43 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 170 mg of 5-(4-chlorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (4)).



10 $^1\text{H-NMR}$: 7.37 (s, 4H), 5.46 (s, 2H), 2.61 (s, 3H)

Production Example 5

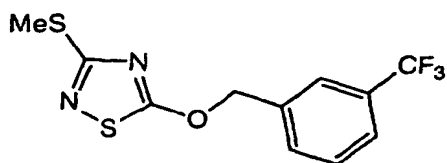
15 **[0101]** By using 264 mg of 2-trifluoromethylbenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 203 mg of 5-(2-trifluoromethylbenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (5)).



25 $^1\text{H-NMR}$: 7.76-7.49 (m, 4H), 5.68 (s, 2H), 2.61 (s, 3H)

Production Example 6

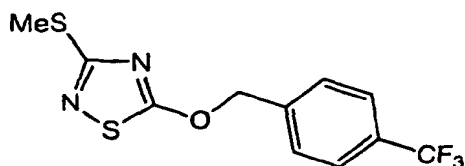
30 **[0102]** By using 264 mg of 3-trifluoromethylbenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 93 mg of 5-(3-trifluoromethylbenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (6)).



40 $^1\text{H-NMR}$: 7.73-7.50 (m, 4H), 5.55 (s, 2H), 2.61 (s, 3H)

Production Example 7

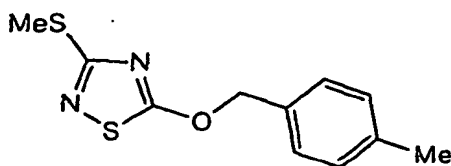
45 **[0103]** By using 264 mg of 4-trifluoromethylbenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 61 mg of 5-(4-trifluoromethylbenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (7)).



55 $^1\text{H-NMR}$: 7.67 (d, 2H), 7.56 (d, 2H), 5.56 (s, 2H), 2.61 (s, 3H)

Production Example 8

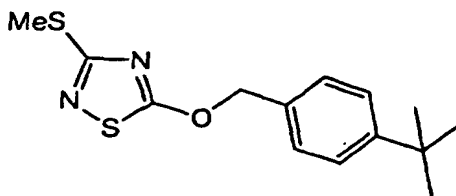
[0104] By using 131 mg of 4-methylbenzyl alcohol instead of 4-chlorobenzyl alcohol according to Production Example 4 was obtained 85 mg of 5-(4-methylbenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (8)).



¹H-NMR: 7.33 (d, 2H), 7.21 (d, 2H), 5.44 (s, 2H), 2.61 (s, 3H)

Production Example 9

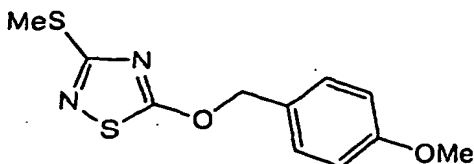
[0105] By using 246 mg of 4-tert-butylbenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 264 mg of 5-(4-tert-butylbenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (9)).



¹H-NMR: 7.44 (d, 2H), 7.38 (d, 2H), 5.46 (s, 2H), 2.68 (s, 3H) 1.34 (s, 9H)

Production Example 10

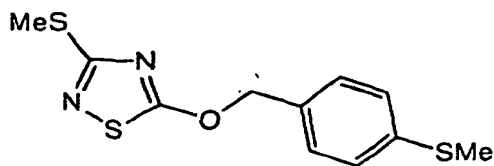
[0106] By using 207 mg of 4-methoxybenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 179 mg of 5-(4-methoxybenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (10)).



¹H-NMR: 7.49 (d, 2H), 7.92 (d, 2H), 5.44 (s, 2H), 3.82 (s, 3H) 2.61 (s, 3H)

Production Example 11

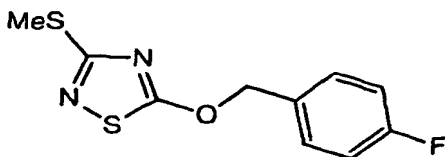
[0107] By using 231 mg of 4-methoxythiobenzyl alcohol instead of 2-chlorobenzyl alcohol according to Production Example 2 was obtained 239 mg of 5-(4-methoxythiobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (11)).



¹H-NMR: 7.36 (d, 2H), 7.24 (d, 2H), 5.42 (s, 2H), 2.62 (s, 3H), 2.49 (s, 3H)

Production Example 12

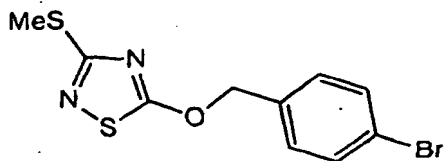
[0108] Into 3 ml of N,N-dimethylformamide were dissolved 334 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 4-fluorobenzyl alcohol, 84 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 1 hour under ice-cooling and for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 357 mg of 5-(4-fluorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (12)).



¹H-NMR: 7.43 (m, 2H), 7.09 (m, 2H), 5.46 (s, 2H), 2.61 (s, 3H)

Production Example 13

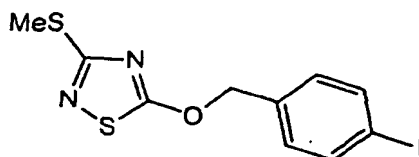
[0109] By using 374 mg of 4-bromobenzyl alcohol instead of 4-fluorobenzyl alcohol according to Production Example 12 was obtained 500 mg of 5-(4-bromobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (13)).



¹H-NMR: 7.53 (d, 2H), 7.32 (d, 2H), 5.44 (s, 2H), 2.61 (s, 3H)

Production Example 14

[0110] By using 468 mg of 4-iodobenzyl alcohol instead of 4-fluorobenzyl alcohol according to Production Example 12 was obtained 440 mg of 5-(4-iodobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (14)).

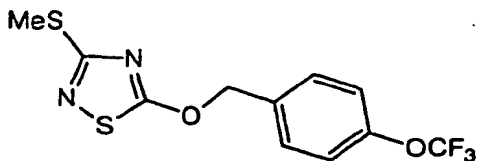


EP 1 475 374 A1

¹H-NMR: 7.74 (d, 2H), 7.19 (d, 2H), 5.43 (s, 2H), 2.61 (s, 3H)

Production Example 15

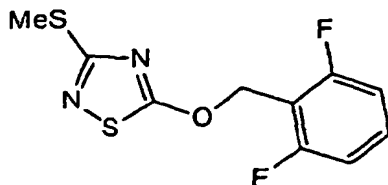
[0111] By using 384 mg of 4-trifluoromethoxybenzyl alcohol instead of 4-fluorobenzyl alcohol according to Production Example 12 was obtained 480 mg of 5-(4-trifluoromethoxybenzyloxy)-3-methylthio-1,2,4-thiadiazole. 5-(4-trifluoromethoxybenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (15)).



¹H-NMR: 7.48 (d, 2H), 7.23 (d, 2H), 5.49 (d, 2H), 2.61 (s, 3H)

Production Example 16

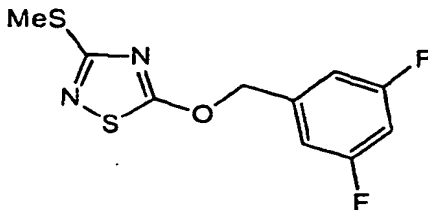
[0112] By using 216 mg of 2,6-difluorobenzyl alcohol instead of 4-chlorobenzyl alcohol according to Production Example 2 was obtained 254 mg of 5-(2,6-difluorobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (16)).



¹H-NMR: 7.44-7.32 (m, 1H), 6.99-6.92 (m, 2H), 5.60 (s, 2H), 2.63 (s, 3H)

Production Example 17

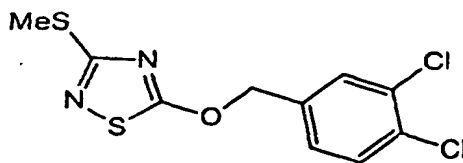
[0113] By using 216 mg of 3,5-difluorobenzyl alcohol instead of 4-chlorobenzyl alcohol according to Production Example 2 was obtained 108 mg of 5-(3,5-difluorobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (17)).



¹H-NMR: 6.96 (m, 2H), 6.81 (m, 1H), 5.47 (s, 2H), 2.61 (s, 3H)

Production Example 18

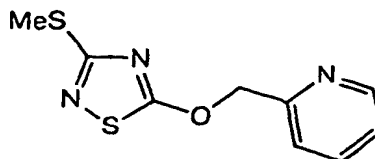
[0114] By using 266 mg of 3,4-dichlorobenzyl alcohol instead of 4-chlorobenzyl alcohol according to Production Example 2 was obtained 241 mg of 5-(3,4-dichlorobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (18)).



¹H-NMR: 7.55 (s, 1H), 7.48 (d, 1H), 7.28 (d, 1H), 5.44 (s, 2H), 2.61 (s, 3H)

Production Example 19

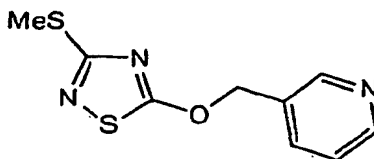
[0115] Into 2 ml of N,N-dimethylformamide was dissolved 218 mg of 2-pyridylmethanol, 84 mg of sodium hydride (60% in oil) was added thereto under ice-cooling. After stirring for 15 minutes, a solution of 334 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole in 2 ml of N,N-dimethylformamide was added dropwise into the mixture and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 2 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 30 mg of 5-(2-pyridylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (19)).



¹H-NMR: 8.64 (d, 1H), 7.77 (t, 1H), 7.46 (d, 1H), 7.28 (t, 1H), 5.60 (s, 2H), 2.61 (s, 3H)

Production Example 20

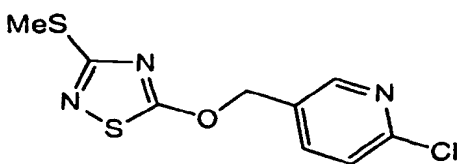
[0116] Into 2 ml of N,N-dimethylformamide were dissolved 100 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 78 mg of 3-pyridinemethanol, 78 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 1 hours at room temperature. The reaction mixture was added to saturated ammonium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 88 mg of 5-(3-pyridylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (20)).



¹H-NMR: 8.72 (s, 1H), 8.64 (d, 1H), 7.81 (d, 1H), 7.35 (t, 1H), 5.53 (s, 2H), 2.61 (s, 3H)

Production Example 21

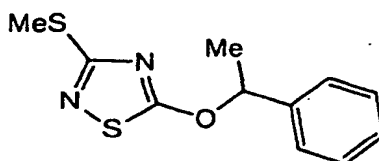
[0117] Into 2.5 ml of N,N-dimethylformamide were dissolved 200 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 207 mg of 4-chloro-3-pyridylmethyl alcohol, 59 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 1 hour at room temperature. The reaction mixture was added to saturated ammonium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 170 mg of 5-(6-chloro-3-pyridyl)methoxy-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (21)).



¹H-NMR: 8.50 (d, 1H), 7.77 (dd, 1H), 7.38 (d, 1H), 5.50 (s, 2H), 2.61 (s, 3H)

Production Example 22

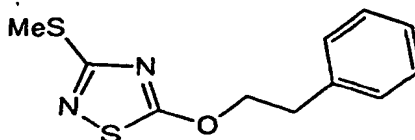
[0118] By using 176 mg of 1-phenylethanol instead of 6-chloro-3-pyridylmethyl alcohol according to Production Example 21 was obtained 190 mg of 5-(1-phenylethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (22)).



¹H-NMR: 7.44-7.29 (m, 5H), 5.99 (q, 1H), 2.58 (s, 3H), 1.74 (d, 3H)

Production Example 23

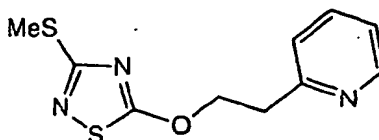
[0119] By using 131 mg of 2-phenylethanol instead of benzyl alcohol according to Production Example 4 was obtained 160 mg of 5-(2-phenylethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (23)).



¹H-NMR: 7.36-7.23 (m, 5H), 4.67 (t, 2H), 3.13 (t, 2H), 2.60 (s, 3H)

Production Example 24

[0120] By using 246 mg of 2-pyridyl-2-ethanol instead of 2-pyridylmethanol according to Production Example 19 was obtained 45 mg of 5-(2-pyridyl-2-ethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (24)).

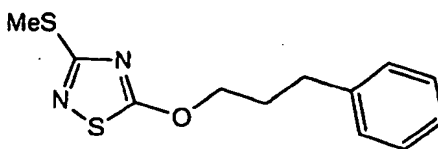


¹H-NMR: 8.56 (d, 1H), 7.63 (t, 1H), 7.17 (m, 2H), 4.88 (t, 2H), 3.31 (t, 2H), 2.60 (s, 3H)

Production Example 25

[0121] By using 196 mg of 3-phenylpropanol instead of 6-chloro-3-pyridylmethyl alcohol according to Production

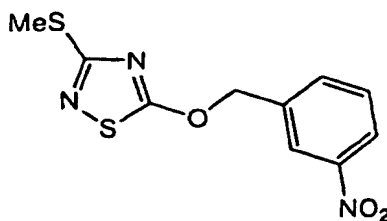
Example 21 was obtained 210 mg of 5-(3-phenylpropyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (25)).



$^1\text{H-NMR}$: 7.30-7.18 (m, 5H), 4.47 (t, 2H), 2.77 (t, 2H), 2.60 (s, 3H), 2.15 (m, 2H)

Production Example 26

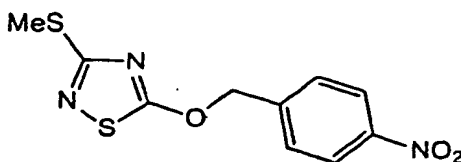
[0122] Into 4 ml of N,N-dimethylformamide were dissolved 334 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 3-nitrobenzyl alcohol, 331 mg of potassium carbonate was added thereto under, and the reaction mixture was stirred for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 320 mg of 5-(3-nitrobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (26)).



$^1\text{H-NMR}$: 8.33 (s, 1H), 8.24 (d, 1H), 7.79 (d, 1H), 7.60 (t, 1H), 5.60 (s, 2H), 2.62 (s, 3H)

Production Example 27

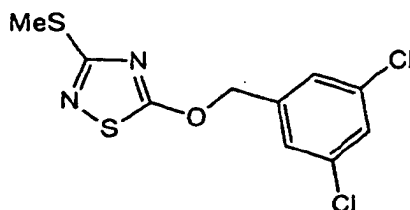
[0123] By using 337 mg of 4-nitrobenzyl alcohol instead of 3-nitrobenzyl alcohol according to Production Example 26 was obtained 36 mg of 5-(4-nitrobenzyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (27)).



$^1\text{H-NMR}$: 8.27 (d, 2H), 7.62 (d, 2H), 5.61 (s, 2H), 2.61 (s, 3H)

Production Example 28

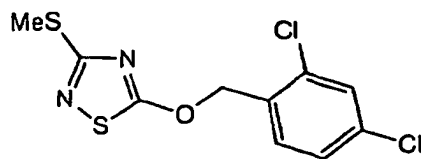
[0124] Into 4 ml of N,N-dimethylformamide were dissolved 334 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 389 mg of 3,5-dichlorobenzyl alcohol, 96 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 0.5 hour under ice-cooling and for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 380 mg of 5-(3,5-dichlorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (28)).



¹H-NMR: 7.37 (s, 1H), 7.33 (s, 2H), 5.44 (s, 2H), 2.61 (s, 3H)

Production Example 29

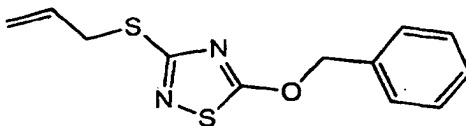
[0125] By using 389 mg of 2,4-dichlorobenzyl alcohol instead of 3,5-dichlorobenzyl alcohol according to Production Example 28 was obtained 370 mg of 5-(2,4-dichlorobenzoyloxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (29)).



¹H-NMR: 7.46 (d, 2H), 7.29 (d, 1H), 5.56 (s, 2H), 2.61 (s, 3H)

Production Example 30

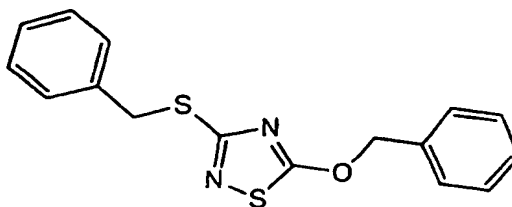
[0126] Into 4 ml of N,N-dimethylformamide were dissolved 193 mg of 5-chloro-3-allylthio-1,2,4-thiadiazole and 108 mg of benzyl alcohol, 48 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 1 hour under ice-cooling. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 240 mg of 5-benzyloxy-3-allylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (30)).



¹H-NMR: 7.51-7.28 (m, 5H), 5.99 (m, 1H), 5.49 (s, 2H), 5.33 (d, 1H), 5.16 (d, 1H), 3.84 (d, 2H)

Production Example 31

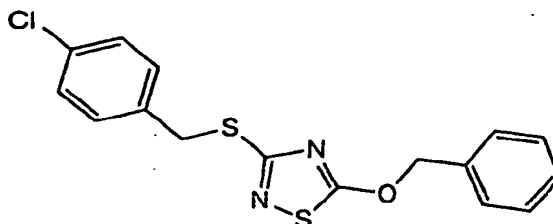
[0127] Into 3 ml of N,N-dimethylformamide were dissolved 243 mg of 5-chloro-3-benzylthio-1,2,4-thiadiazole and 108 mg of benzyl alcohol, 48 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 1 hour under ice-cooling and for 17 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 146 mg of 5-benzyloxy-3-benzylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (31)).



¹H-NMR: 7.42-7.25 (m, 10H), 5.48 (s, 2H), 4.42 (s, 2H)

Production Example 32

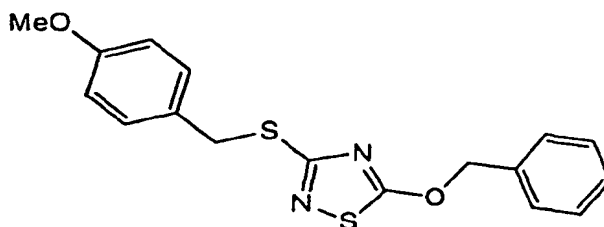
[0128] Into 3 ml of N,N-dimethylformamide were dissolved 416 mg of 5-chloro-3-(4-chlorobenzylthio)-1,2,4-thiadiazole and 162 mg of benzyl alcohol, 48 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 15 minutes under ice-cooling and for 1 hour at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 400 mg of 5-benzyloxy-3-(4-chlorobenzylthio)-1,2,4-thiadiazole (hereinafter, referred to as the present compound (32)).



¹H-NMR: 7.43-7.26 (m, 9H), 5.48 (s, 2H), 4.37 (s, 2H)

Production Example 33

[0129] Into 2 ml of N,N-dimethylformamide were dissolved 200 mg of 5-chloro-3-(4-methoxybenzylthio)-1,2,4-thiadiazole and 87 mg of benzyl alcohol, 35 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 1 hour under ice-cooling and for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 165 mg of 5-benzyloxy-3-(4-methoxybenzylthio)-1,2,4-thiadiazole (hereinafter, referred to as the present compound (33)).

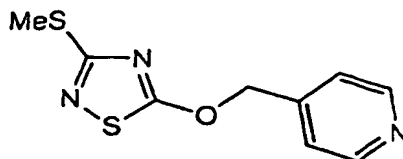


¹H-NMR: 7.51-7.31 (m, 7H), 6.83 (d, 2H), 5.48 (s, 2H), 4.38 (s, 2H), 3.79 (s, 3H)

Production Example 34

[0130] Into 4 g of N,N-dimethylformamide was dissolved 218 mg of 4-pyridinemethanol, 96 mg of sodium hydride (60% in oil) was added thereto at room temperature. After stirring for 30 minutes, a solution of 334 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole in 1 g of N,N-dimethylformamide was added dropwise into the mixture and the reaction mixture was stirred for 4 hours at room temperature. The reaction mixture was added to saturated sodium chloride

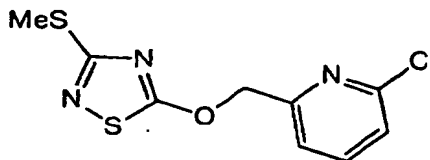
aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 260 mg of 5-(4-pyridylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (34)).



$^1\text{H-NMR}$: 8.65 (d, 2H), 7.33 (d, 2H), 5.53 (s, 2H), 2.61 (s, 3H)

Production Example 35

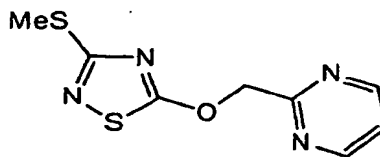
[0131] Into 3 g of N,N-dimethylformamide were dissolved 251 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 188 mg of 6-chloro-2-pyridylmethyl alcohol, 72 mg of sodium hydride (60% in oil) was added thereto at room temperature, and the reaction mixture was stirred for 30 minutes. The reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 44 mg of 5-(6-chloro-2-pyridylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (35)).



$^1\text{H-NMR}$: 7.71 (t, 1H), 7.38 (d, 1H), 7.30 (d, 1H), 5.55 (s, 2H), 2.60 (s, 3H)

Production Example 36

[0132] Into 3.6 g of N,N-dimethylformamide were dissolved 304 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 200 mg of 2-pyrimidylmethyl alcohol, 87 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 15 minutes. After stirring for 1.5 hour at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 278 mg of 5-(2-pyrimidylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (36)).

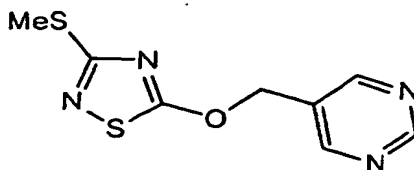


$^1\text{H-NMR}$: 8.78 (d, 2H), 7.27 (t, 1H), 5.73 (s, 2H), 2.59 (s, 3H)

Production Example 37

[0133] Into 4 g of N,N-dimethylformamide were dissolved 304 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 200 mg of 5-pyrimidylmethyl alcohol, 97 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 30 minutes. After stirring for 5 hours at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 210 mg of 5-(5-py-

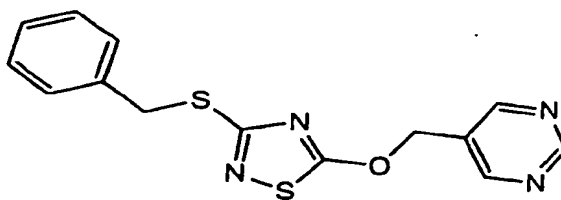
rimidylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (37)).



¹H-NMR: 9.25 (s, 1H), 8.88 (s, 2H), 7.27 (s, 2H), 2.61 (s, 3H)

Production Example 38

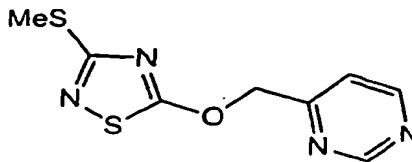
[0134] Into 2 g of N,N-dimethylformamide were dissolved 219 mg of 5-chloro-3-benzylthio-1,2,4-thiadiazole and 200 mg of 5-pyrimidylmethyl alcohol, 44 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 30 minutes. After stirring for 5 hours at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 130 mg of 5-(5-pyrimidylmethoxy)-3-benzylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (38)).



¹H-NMR: 9.25 (s, 1H), 8.86 (s, 2H), 7.43-7.24 (m, 5H), 5.53 (s, 2H), 4.41 (s, 2H)

Production Example 39

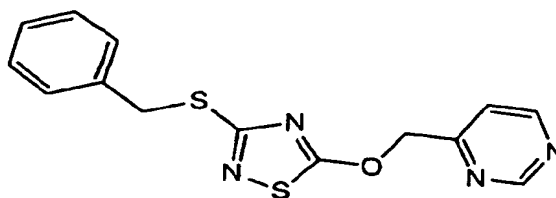
[0135] Into 4 g of N,N-dimethylformamide were dissolved 304 mg of 5-chloro-3-methylthio-1,2,4-thiadiazole and 200 mg of 4-pyrimidylmethyl alcohol, 87 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 15 minutes. After stirring for 2 hours at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 210 mg of 5-(4-pyrimidylmethoxy)-3-methylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (39)).



¹H-NMR: 9.21 (s, 1H), 8.78 (d, 1H), 7.45 (d, 1H), 5.60 (s, 2H), 2.60 (s, 3H)

Production Example 40

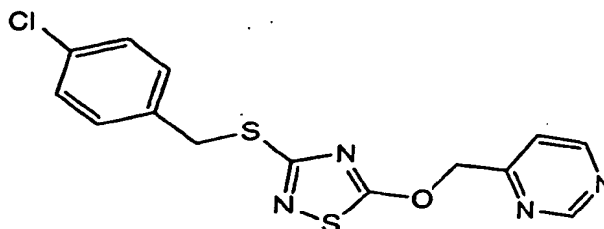
[0136] By using 219 mg of 5-chloro-3-benzylthio-1,2,4-thiadiazole instead of 5-chloro-3-methylthio-1,2,4-thiadiazole according to Production Example 39 was obtained 110 mg of 5-(4-pyrimidylmethoxy)-3-benzylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (40)).



¹H-NMR: 9.21 (s, 1H), 8.77 (d, 1H), 7.44-7.23 (m, 6H), 5.59 (s, 2H), 4.39 (s, 2H)

Production Example 41

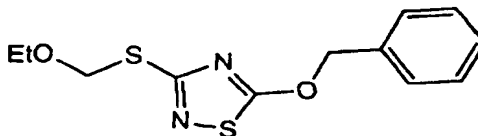
[0137] By using 252 mg of 5-chloro-3-(4-chlorobenzylthio)-1,2,4-thiadiazole instead of 5-chloro-3-methylthio-1,2,4-thiadiazole according to Production Example 40 was obtained 127 mg of 5-(4-pyrimidylmethoxy)-3-(4-chlorobenzylthio)-1,2,4-thiadiazole (hereinafter, referred to as the present compound (41)).



¹H-NMR: 9.21 (s, 1H), 8.78 (d, 1H), 7.43-7.24 (m, 5H), 5.58 (s, 2H), 4.34 (s, 2H)

Production Example 42

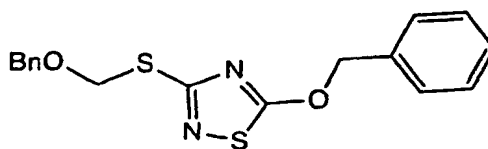
[0138] Into 3 g of N,N-dimethylformamide were dissolved 300 mg of 5-chloro-3-ethoxymethylthio-1,2,4-thiadiazole and 153 mg of benzyl alcohol, 68 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 15 minutes. After stirring for 2 hours at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 210 mg of 5-benzylloxy-3-ethoxymethylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (42)).



¹H-NMR: 7.46-7.36 (m, 5H), 5.49 (s, 2H), 5.40 (s, 2H), 3.67 (q, 2H), 1.24 (t, 3H)

Production Example 43

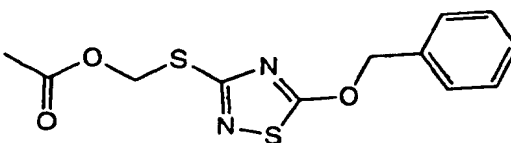
[0139] Into 3 g of N,N-dimethylformamide were dissolved 350 mg of 5-chloro-3-benzylloxymethylthio-1,2,4-thiadiazole and 153 mg of benzyl alcohol, 68 mg of sodium hydride (60% in oil) was added thereto under ice-cooling, and the reaction mixture was stirred for 30 minutes. After stirring for 2 hours at room temperature, the reaction mixture was added to saturated sodium chloride aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 260 mg of 5-benzylloxy-3-benzylloxymethylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (43)).



¹H-NMR: 7.45-7.25 (m, 10H), 5.49 (s, 2H), 5.43 (s, 2H), 4.71 (s, 2H)

Production Example 44

[0140] Into 1.5 g of acetic anhydride were added 620 mg of 2,6-lutidine and 500 mg of 5-benzyloxy-3-methylsulfanyl-1,2,4-thiadiazole under ice-cooling. After stirring for 15 hours at room temperature, the reaction mixture was added to saturated sodium hydrogen carbonate aqueous solution, and extracted with t-butyl methyl ether. The organic layer was concentrated, and the residue obtained was subjected to silica gel column chromatography to give 376 mg of 5-benzyloxy-3-acetoxymethylthio-1,2,4-thiadiazole (hereinafter, referred to as the present compound (44)).

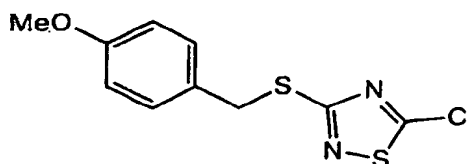


¹H-NMR: 7.46-7.36 (m, 5H), 5.77 (s, 2H), 5.50 (s, 2H), 2.11 (s, 3H)

[0141] Next, the production of the intermediate of the present compound is described as Reference Production Examples.

Reference Production Example 1

[0142] Into the mixture of 20 ml of toluene and 10 ml of water were added 2.53 g of 4-methoxybenzylisothiurea hydrogen chloride, 2.03 g of perchloromethyl mercaptan, and 50 mg of benzyltriethylammonium chloride, and a solution of 1.74 g of sodium hydroxide in 10 ml of water was added dropwise to the mixture at about 0°C over 4 hours. After the addition, the mixture was stirred for 1 hour at room temperature. Into the reaction mixture was added t-butyl methyl ether, and extracted. The organic layer was dried over anhydrous sodium sulfate, concentrated, and the residue obtained was subjected to silica gel column chromatography to give 5.38 g of 5-chloro-3-(4-methoxybenzyl)thio-1,2,4-thiadiazole.



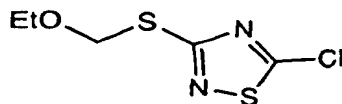
¹H-NMR: 7.35 (d, 2H), 6.85 (d, 2H), 4.41 (s, 2H), 3.79 (s, 3H)

Reference Production Example 2

[0143] Into the mixture of 35 ml of water and 70 ml of dichloromethane were added 12.2 g of ethoxymethylisothiurea hydrogen chloride and 13.2 g of perchloromethyl mercaptan, and a solution of 11.4 g of sodium hydroxide in 35 ml of water was added dropwise to the mixture at about 0°C over 1.5 hour. After the addition, the mixture was stirred for 1 hour at room temperature. Into the reaction mixture was added chloroform, and extracted. The organic layer was dried over anhydrous sodium sulfate, concentrated, and the residue obtained was subjected to silica gel column chromatography to give 5.22 g of 5-chloro-3-ethoxymethylthio-1,2,4-thiadiazole.

5-chloro-3-ethoxymethylthio-1,2,4-thiadiazole

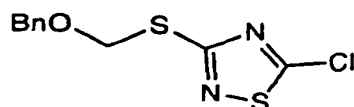
[0144]



¹H-NMR: 5.43 (s, 2H), 3.68 (q, 2H), 1.26 (t, 3H)

Reference Production Example 3

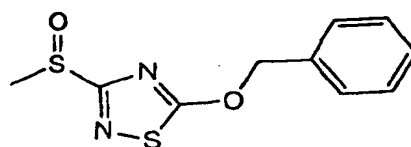
[0145] Into the mixture of 25 ml of water and 50 ml of dichloromethane were added 11.3 g of benzyloxymethylisothiouraea hydrogen chloride and 9.02 g of perchloromethyl mercaptan, and a solution of 7.76 g of sodium hydroxide in 25 ml of water was added dropwise to the mixture at about 0°C over 1.5 hour. After the addition, the mixture was stirred for 1 hour at room temperature. Into the reaction mixture was added chloroform, and extracted. The organic layer was dried over anhydrous sodium sulfate, concentrated, and the residue obtained was subjected to silica gel column chromatography to give 3.51 g of 5-chloro-3-benzyloxymethylthio-1,2,4-thiadiazole.



¹H-NMR: 7.36-7.28 (m, 5H), 5.45 (s, 2H), 4.69 (s, 2H)

Reference Production Example 4

[0146] Into 12 ml of chloroform was dissolved 1.39 g of 5-benzyloxy-3-methylthio-1,2,4-thiadiazole, and metachloroperbenzoic acid (>70%) was slowly added to the mixture under ice-cooling. After stirring for 1 hour, the compound of low-polarity from the reaction mixture was detected by thin layer chromatography. The reaction mixture was poured into a saturated sodium sulfite aqueous solution, and separated. The organic layer was washed with sodium hydrogen carbonate aqueous solution, dried over anhydrous sodium sulfate, concentrated to give 1.4 g of 5-benzyloxy-3-methylsulfinyl-1,2,4-thiadiazole.



[0147] Next, Formulation Examples will be shown below. Parts are by weight.

Formulation Example 1

[0148] 9 parts of each of the present compounds (1) to (44), respectively, were dissolved in 37.5 parts of xylene and 37.5 parts of dimethylformamide, and to this was added 10 parts of polyoxyethylene styrylphenyl ether and 6 parts of calcium dodecylbenzenesulfonate, and they were mixed thoroughly to obtain an emulsifiable concentrate.

Formulation Example 2

[0149] 9 parts of each of the present compounds (1) to (44), respectively, were dissolved in a mixture of 4 parts of sodium laurate, 2 parts of calcium ligninsulfonate, 20 parts of a synthetic water-containing silicon oxide fine powder,

and 65 parts of diatomaceous earth, and they were mixed thoroughly to obtain a wettable powder.

Formulation Example 3

5 [0150] 3 parts of each of the present compounds (1) to (44), respectively, were dissolved in a mixture of 5 parts of a synthetic water-containing silicon oxide fine powder, 5 parts of sodium dodecylbenzenesulfonate, 30 parts of bentonite, and 57 parts of clay, and they were mixed thoroughly, then, suitable amount of water was added to the mixture thereof, the resulted mixture was further stirred, granulated in a granulator, and dried under ventilation to obtain a granule.

10

Formulation Example 4

[0151] 4.5 parts of each of the present compounds (1) to (44), respectively, 1 part of a synthetic water-containing silicon oxide fine powder, 1 part of DRILESS B (manufactured by Sankyo Co., Ltd.) and 7 parts of clay were mixed thoroughly in a mortar, then, stirred to mix by a juice mixer. To the resulted mixture was added 86.5 parts of cut clay, they were sufficiently stirred to mix, to obtain a powder.

15

Formulation Example 5

20 [0152] 10 parts of each of the present compounds (1) to (44), respectively, 35 parts of white carbon containing 50 parts of ammonium polyoxyethylene alkyl ether sulfate, and 55 parts of water were mixed and finely ground according to a wet grinding method, to obtain a formulation.

Formulation Example 6

25

[0153] 0.5 parts of each of the present compounds (1) to (44), respectively, were dissolved in 10 parts of dichloromethane and mixed with 89.5 parts of Isoper M (isoparaffin; trademark of Exxon chemicals), to obtain a oil solution.

Formulation example 7

30

[0154] An aerosol vessel is filled with 0.5 parts of each of the present compounds (1) to (44), respectively, and 49.9 parts of Neothiozol (manufactured by Chuo Kasei Co.). The vessel is then equipped with a valve. 25 parts of dimethyl ether and 25 parts of liquefied petroleum gas are charged into the aerosol vessel, and the aerosol vessel is shaken and equipped with an actuator to give an oil-based aerosol.

35

Formulation example 8

[0155] An aerosol vessel is filled with a solution of 0.5 parts of each of the present compounds (1) to (44), respectively, 0.01 parts of BHT, 5 parts of xylene, 3.39 parts of deodorized kerosene and 1 part of an emulsifying agent (Atmos 300, trademark of Atlas Chemical Co.), and 50 parts of water. The vessel is then equipped with a valve and 40 parts of propellant (liquefied petroleum gas) are charged through the valve into the aerosol vessel under pressure to give a water-based aerosol.

40

[0156] Next, the use example of the present compound as the arthropod pests controlling composition is showed by a Test Example.

45

Test Example

[0157] Each formulation of the present compounds (1), (3), (4), (6), (7), (8), (12), (13), (14), (15), (16), (17), (18), (19), (20), (21), (22), (23), (24), (25), (26), (28), (30), (31), (33), (34), (35), (36), (37), (38), (42), (43) and (44) obtained according to the Formulation Example 5 was diluted with water so that the active ingredient concentration came to 500 ppm to prepare a diluted liquid.

50

[0158] Seeds of cucumber were planted in polyethylene cups and grown until their first foliage leaves developed, on which about 20 cotton aphids (*Aphis gossypii*) were made parasitic. After one day, the diluted liquid was sprayed at the rate of 20 ml/cup onto the cucumber plants. On the 6th day after the application, the number of cotton aphids was examined. As a result, the numbers of the living cotton aphids were three or less.

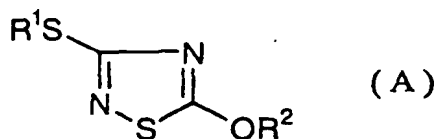
55

Industrial applicability

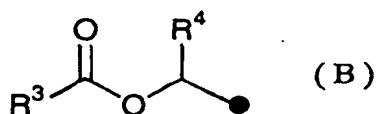
[0159] By using the present compounds, arthropod pests can be controlled.

Claims

1. A thiadiazole compound of the formula (A):



wherein R¹ represents methyl, C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, C4-C7 alkylthioalkoxyalkyl, phenyl C1-C2 alkyl in which phenyl may be substituted, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted, phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted, or the substituent of the formula (B):



wherein R³ represents C1-C3 alkyl, and R⁴ represents a hydrogen atom, methyl, ethyl or phenyl which may be substituted; and

R² represents phenyl C1-C4 alkyl in which phenyl may be substituted, pyridyl C1-C4 alkyl in which pyridyl may be substituted, or pyrimidyl C1-C4 alkyl in which pyrimidyl may be substituted.

2. The thiadiazole compound according to claim 1, wherein R² is phenyl C1-C4 alkyl in which phenyl may be substituted with one or more selected from the Group A described below, pyridyl C1-C4 alkyl in which pyridyl may be substituted with one or more selected from the Group A described below, or pyrimidyl C1-C4 alkyl in which pyrimidyl may be substituted with one or more selected from the Group A described below in the formula (A).

Group A:

C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy, nitro, cyano and halogen atoms.

3. The thiadiazole compound according to claim 1, wherein R² is phenyl C1-C4 alkyl in which phenyl may be substituted, or pyridyl C1-C4 alkyl in which pyridyl may be substituted in the formula (A).

4. The thiadiazole compound according to claim 1, wherein R² is phenyl C1-C4 alkyl in which phenyl may be substituted with one or more selected from the Group A described below, or pyridyl C1-C4 alkyl in which pyridyl may be substituted with one or more selected from the Group A described below in the formula (A).

Group A:

C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy, nitro, cyano and halogen atoms.

5. The thiadiazole compound according to claim 1, wherein R² is phenyl C1-C4 alkyl in which phenyl may be substituted with one or more selected from the Group B described below, or pyridyl C1-C4 alkyl in which pyridyl may be substituted with one or more selected from the Group B described below in the formula (A).

Group B:

C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy and halogen atoms.

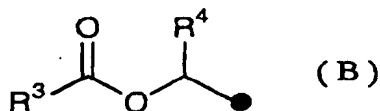
6. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is methyl in the formula (A).
7. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is C3-C7 alkenyl, C2-C7 alkoxyalkyl, C2-C7 alkylthioalkyl, C4-C7 alkoxyalkoxyalkyl, or C4-C7 alkylthioalkoxyalkyl in the formula (A).

8. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is phenyl C1-C2 alkyl in which phenyl may be substituted with one or more selected from the Group A described below, phenyloxy C1-C2 alkyl in which phenyloxy may be substituted with one or more selected from the Group A described below, or phenyl C2-C3 alkoxyalkyl in which phenyl may be substituted with one or more selected from the Group A described below in the formula (A).

Group A:

C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy, nitro, cyano and halogen atoms.

9. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is the substituent of the formula (B):



wherein R³ represents C1-C3 alkyl, and R⁴ represents a hydrogen atom, methyl, ethyl or phenyl which may be substituted with one or more selected from the group consisting of C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy, nitro, cyano and halogen atoms, in the formula (A).

10. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is (C1-C6 alkoxy)methyl or (C1-C6 alkylthio)methyl in the formula (A).

11. The thiadiazole compound according to any of claims 1 to 5, wherein R¹ is benzyl which may be substituted with one or more selected from the Group A described below, phenyloxymethyl which may be substituted with one or more selected from the Group A described below, or benzyloxymethyl which may be substituted with one or more selected from the Group A described below in the formula (A).

Group A:

C1-C4 alkyl, C1-C4 haloalkyl, C1-C4 alkoxy, C1-C4 alkylthio, C1-C4 haloalkoxy, nitro, cyano and halogen atoms.

12. An arthropod controlling composition containing an effective amount of the thiadiazole compound according to claim 1.
13. A method for controlling an arthropod pest comprising applying an effective amount of the thiadiazole compound according to claim 1 to an arthropod pest or the habitats of an arthropod pest.
14. Use of the thiadiazole compound according to claim 1 as an active ingredient of an arthropod controlling composition.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00237

A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl.⁷ C07D285/08, 417/12, A61K31/433, 31/4439, 31/506,
A61P33/14

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl.⁷ C07D285/08, 417/12, A61K31/433, 31/4439, 31/506,
A61P33/14

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAPLUS (STN), CAOLD (STN), REGISTRY (STN), WPI/L (DIALOG)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 623604 A2 (BAYER AG), 09 November, 1994 (09.11.94), Claims; compounds 30 to 35 stated on page 32 & JP 6-329649 A	1-8, 10-14
Y	US 4692457 A (FBC LTD.), 08 September, 1987 (08.09.87), Claims; examples 23, 24 stated in column 6 & EP 200334 A2 & JP 61-267563 A	1-8, 10-14
Y	WO 94/19331 A1 (BASF AG), 01 September, 1994 (01.09.94), Claims; compounds stated on pages 45 to 48 & EP 757042 A1 & JP 8-507055 A	1-5, 12-14

☒ Further documents are listed in the continuation of Box C.☐ See patent family annex.

* Special categories of cited documents:
 "A" document defining the general state of the art which is not considered to be of particular relevance
 "E" earlier document but published on or after the international filing date
 "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
 "O" document referring to an oral disclosure, use, exhibition or other means
 "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
 "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
 "&" document member of the same patent family

Date of the actual completion of the international search
18 February, 2003 (18.02.03)Date of mailing of the international search report
11 March, 2003 (11.03.03)Name and mailing address of the ISA/
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP03/00237

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 4069319 A (ROUSSEL UCLAF), 17 January, 1978 (17.01.78), Claims; example 15 stated in column 7 & JP 50-71677 A	1-5, 12-14
Y	US 4067720 A (ROUSSEL UCLAF), 10 January, 1978 (10.01.78), Claims; example 15 stated in column 9 & JP 51-131877 A	1-5, 12-14
Y	US 5827800 A (BAYER AG), 27 October, 1998 (27.10.98), Claims; compounds 126 to 132 stated in columns 35 to 36 & WO 95/29905 A1 & EP 758326 A1 & JP 9-512281 A	1, 6-8, 10-14

Form PCT/ISA/210 (continuation of second sheet) (July 1998)